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(54) **BENZAMIDOXIME DERIVATIVE, PROCESS FOR PRODUCTION THEREOF, AND
AGROHORTICULTURAL BACTERICIDE**

**BENZAMIDOXIMDERIVATE, VERFAHREN ZU IHRER HERSTELLUNG UND EIN BAKTERIZID FÜR
LANDWIRTSCHAFT UND GARTENBAU**

**DERIVE DE BENZAMIDOXIME, PROCEDE DE PRODUCTION, ET BACTERICIDE
AGROHORTICOLE**

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(56) References cited:
JP-A- 2 006 453

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Description

Technical Field:

[0001] The present invention relates to novel benzamidoxime derivatives, methods for preparation thereof and fungicides for agricultural and horticultural uses.

Background Art:

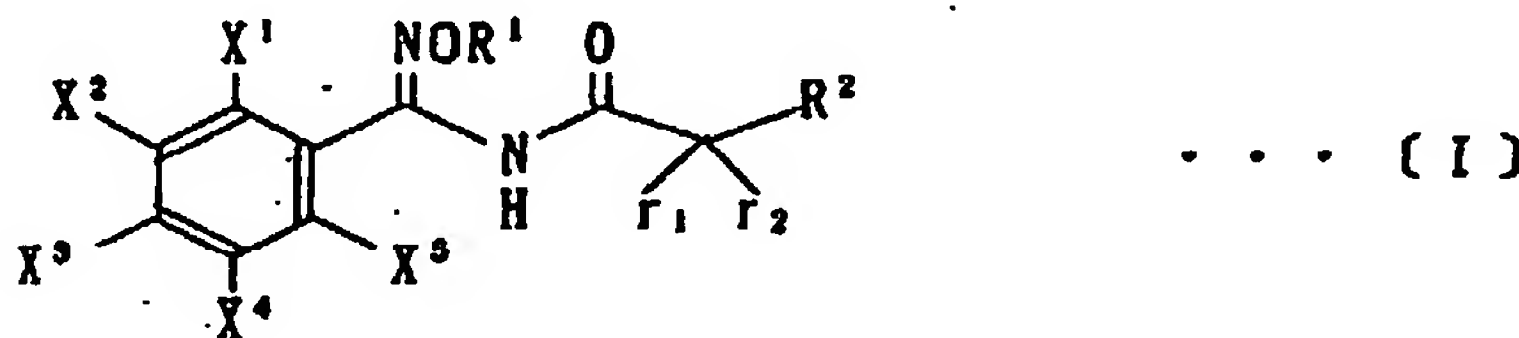
[0002] In farming of agricultural and horticultural crops in the past, various fungicides have been used for the control of plant diseases on the crops, however, many of them are not enough useful because of their insufficient effectiveness in plant disease control, the limitation in their use due to the appearance of resistant strain of plant disease pathogens to the fungicides, the development of phytotoxicity and contamination to the crops, and/or their strong toxicity to humans, domestic animals and wildlife. For this reason, there is still intensive requirement to develop safe fungicides for agricultural and horticultural uses, which do not have the disadvantages as described above.

[0003] Some benzamidoxime derivatives, which are close to the compounds of the present invention, and their use as fungicides have been disclosed in Japanese Patent Laid-opened No. Hei 2-6453 Gazette. However, it is obvious that the biological activity of those benzamide oxime derivatives are not enough in the practical plant disease control.

[0004] Therefore, it is an object of the present invention to provide novel compounds which can be a fungicide for agricultural and horticultural use capable of advantageously manufacturing the compound in an industrial scale, controlling plant diseases steadily and using it safely.

Disclosure of the Invention:

[0005] The present invention is directed to benzamidoxime derivatives represented by a general formula [I];



wherein R¹ is unsubstituted or substituted C₁ - C₄ alkyl, unsubstituted or substituted C₂ - C₄ alkenyl or unsubstituted or substituted C₂ - C₄ alkynyl, R² is phenyl optionally having substituents or heterocycle optionally having substituents, X¹ is -CF₃, X², X³, X⁴ and X⁵ are each independently hydrogen, halogen, C₁ - C₄ alkyl, C₁ - C₄ haloalkyl, C₁ - C₄ alkoxy, C₁ - C₄ haloalkoxy, C₁ - C₄ alkylthio, C₁ - C₄ alkylsulfinyl, C₁ - C₄ alkylsulfonyl, nitro, amino or C₁ - C₄ alkylcarbonylamino, and r¹ and r² are each independently hydrogen, halogen, C₁ - C₄ alkyl, C₁ - C₄ haloalkyl, C₁ - C₄ alkoxy, C₁ - C₄ alkylthio or amino, or r¹ and r² together may form a carbonyl.

[0006] The present invention is also directed to methods for preparation thereof and fungicides for agricultural and horticultural use comprising the said derivatives.

[0007] In the present invention, for the examples of C₁ - C₄ alkyl of the unsubstituted or substituted C₁ - C₄ alkyl represented by R¹, methyl, ethyl, propyl, isopropyl, butyl, isobutyl and t-butyl can be given.

[0008] For the examples of C₂ - C₄ alkenyl of the unsubstituted or substituted C₂ - C₄ alkenyl represented by R¹, vinyl, 1-propenyl, 2-propenyl, isopropenyl, 1-butenyl, 2-butenyl and 3-butenyl can be given.

[0009] For the examples of C₂ - C₄ alkynyl of the unsubstituted or substituted C₂ - C₄ alkynyl represented by R¹, ethynyl, propargyl, 2-butyne and 3-butyne can be given.

[0010] Further, according to formula I' R¹ may be a group represented by general formula R³-CH₂ wherein R³ is a group selected from; C₃ - C₈ cycloalkyl, such as cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl and cycloheptyl; C₃ - C₈ halocycloalkyl, such as 1-fluorocyclopropyl, 2-fluorocyclopropyl, 1-chlorocyclopropyl, 2-chlorocyclopropyl, 2,2-difluorocyclopropyl, 2,2-dichlorocyclopropyl, 2-fluorocyclopentyl, 3-fluorocyclopentyl, 2-chlorocyclopentyl, 3-chlorocyclopentyl, 3,4-difluorocyclohexyl, 3,4-dichlorocyclohexyl and 3,4-dibromocyclohexyl; C₃ - C₈ cycloalkenyl, such as 2-cyclohexenyl and 3-cyclohexenyl; halogens, such as fluorine, chlorine, bromine and iodine; C₁ - C₄ alkoxy, such as methoxy, ethoxy, propoxy, isopropoxy, butoxy, isobutoxy and t-butoxy; unsubstituted, mono-substituted or di-substituted amino by C₁ - C₄ alkyl, such as amino, methylamino and dimethylamino; unsubstituted, mono-substituted

or di-substituted carbamoyl by $C_1 - C_4$ alkyl, such as carbamoyl, methylcarbamoyl and dimethylcarbamoyl; $C_1 - C_4$ alkylthio, such as methylthio, ethylthio, propylthio and isopropylthio; $C_1 - C_4$ alkylsulfinyl, such as methylsulfinyl and ethylsulfinyl; $C_1 - C_4$ alkylsulfonyl, such as methylsulfonyl and ethylsulfonyl; $C_1 - C_4$ alkoxycarbonyl, such as methoxycarbonyl and ethoxycarbonyl; carboxy and cyano, can be given for the examples of the substituents for any of $C_1 - C_4$ alkyl, $C_2 - C_4$ alkenyl and $C_2 - C_4$ alkynyl.

[0011] However, straight chain or branched $C_1 - C_4$ alkyl being unsubstituted or substituted is more preferable for the examples of the substituent represented by R^1 . More particularly, straight chain or branched $C_1 - C_4$ alkyl, such as methyl, ethyl, propyl, isopropyl, butyl, s-butyl and t-butyl; a group represented by a general formula, R^3CH_2 , wherein R^3 is $C_3 - C_8$ cycloalkyl, $C_1 - C_3$ haloalkyl, $C_1 - C_3$ alkoxy, $C_1 - C_3$ alkylthio, $C_1 - C_3$ alkylsulfinyl, $C_1 - C_3$ alkylsulfonyl, $C_1 - C_3$ alkoxycarbonyl, cyano, amino, $C_1 - C_3$ monoalkylamino, $C_1 - C_3$ dialkylamino or acylamino, such as $C_1 - C_8$ cycloalkylmethyl including cyclopropylmethyl, cyclobutylmethyl, cyclopentylmethyl and cyclohexylmethyl, $C_1 - C_8$ cyclohaloalkylmethyl including 2-fluorocyclopropylmethyl, 1-fluorocyclopropylmethyl, 1,2-difluorocyclopropylmethyl and 3,4-dibromocyclohexyl, $C_1 - C_4$ haloalkyl including 2-chloroethyl, 2-fluoroethyl, 2,2-dichloroethyl, 2,2-difluoroethyl and 2,2,2-trifluoroethyl, $C_1 - C_4$ alkoxymethyl including methoxymethyl, ethoxymethyl and propoxymethyl, $C_2 - C_4$ alkynyl including propargyl, $C_2 - C_4$ alkenyl including allyl, 2-butenyl, cyanomethyl, alkoxycarbonylmethyl including methoxycarbonylmethyl and ethoxycarbonylmethyl, alkylthiomethyl including methylthiomethyl and ethylthiomethyl, alkylsulfinylmethyl including methylsulfinylmethyl and ethylsulfinylmethyl, alkylsulfonylmethyl including methylsulfonylmethyl and ethylsulfonylmethyl, aminomethyl, substituted aminomethyl including N-methylaminomethyl, N,N-dimethylaminomethyl, N-acetylaminomethyl and N-benzoylaminomethyl, can be given for the examples of the straight chain or branched $C_1 - C_4$ alkyl being unsubstituted or substituted described above.

[0012] For the examples of heterocycle of the unsubstituted or substituted heterocycle group represented by R^2 , 5- or 6-membered aromatic heterocycle containing 1 - 4 heteroatoms, such as N, O and S, such as pyridine ring, furan ring, thiophene ring, pyrazole ring, imidazole ring, triazole ring, pyrrole ring, pyrazine ring, pyrimidine ring, pyridazine ring, oxazole ring, isoxazole ring and thiazole ring, can be given.

[0013] The substituents for phenyl and heterocycle represented by R^2 may substitute one or more optional positions of the benzene ring or the heterocycle thereof and may be different with each other if 2 or more positions are substituted thereby. For the preferable examples of the substituents described hereinabove, halogens, such as fluorine, chlorine and bromine, $C_1 - C_4$ alkyl, such as methyl, ethyl, propyl, isopropyl, butyl and t-butyl, $C_1 - C_4$ alkoxy, such as methoxy, ethoxy, propoxy, isopropoxy, butoxy and t-butoxy, $C_2 - C_4$ alkenyloxy, such as allyloxy and crotyloxy, $C_2 - C_4$ alkynyloxy, such as propargyloxy, $C_1 - C_4$ haloalkyl, such as chloromethyl, fluoromethyl, bromomethyl, dichloromethyl, difluoromethyl, trichloromethyl, trifluoromethyl, tribromomethyl, trifluoroethoxy and pentafluoroethoxy, and $C_1 - C_4$ haloalkoxy, such as chloromethoxy, fluoromethoxy, bromomethoxy, dichloromethoxy, difluoromethoxy, trichloromethoxy, trifluoromethoxy, tribromomethoxy and trifluoroethoxy, can be given.

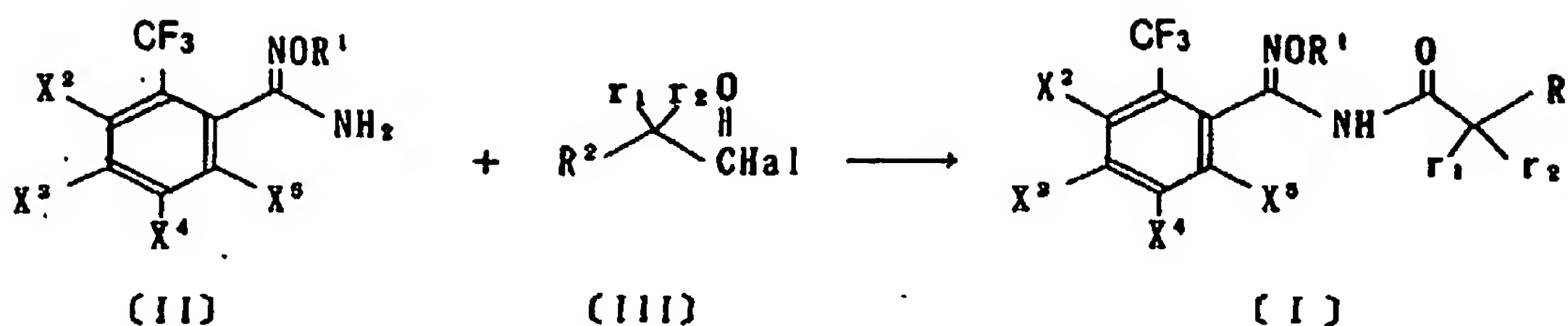
[0014] For the examples of halogen atoms represented by X^2 , X^3 , X^4 and X^5 , fluorine, chlorine, bromine and iodine can be given, and for the examples of the $C_1 - C_4$ alkyl represented by X^2 , X^3 , X^4 and X^5 , methyl, ethyl, propyl, isopropyl, butyl, isobutyl and t-butyl can be given, and further for the examples of the $C_1 - C_4$ haloalkyl represented by X^2 , X^3 , X^4 and X^5 , straight chain or branched $C_1 - C_4$ haloalkyl, such as chloromethyl, dichloromethyl, trichloromethyl, difluoromethyl, trifluoromethyl, bromomethyl, dibromomethyl, chloroethyl, fluoroethyl, dichloroethyl, difluoroethyl, trifluoroethyl, tetrafluoroethyl, pentafluoroethyl, chloropropyl, fluoropropyl, perfluoropropyl, chloroisopropyl, fluoroisopropyl, perfluoroisopropyl, chlorobutyl, fluorobutyl, perfluorobutyl, chloroisobutyl, fluoroisobutyl, perfluoroisobutyl, chloro-s-butyl, fluoro-s-butyl, perfluoro-s-butyl, chloro-t-butyl, fluoro-t-butyl and perfluoro-t-butyl, can be given, and still for the examples of the $C_1 - C_4$ alkoxy represented by X^2 , X^3 , X^4 and X^5 , methoxy, ethoxy, propoxy, isopropoxy, butyloxy, isobutyloxy and t-butyloxy can be given. In addition, for the examples of the $C_1 - C_4$ alkylthio represented by X^2 , X^3 , X^4 and X^5 , methylthio, ethylthio, propylthio, isopropylthio, butylthio, isobutylthio and t-butylthio can be given, and for the examples of the $C_1 - C_4$ haloalkoxy represented by X^2 , X^3 , X^4 and X^5 , trifluoromethoxy, difluoromethoxy, trichloromethoxy, trifluoroethoxy and tetrafluoroethoxy can be given.

[0015] Furthermore, for the examples of the groups represented by r^1 and r^2 , which may be the same or different groups with each other, hydrogen, halogen atoms, such as fluorine, chlorine, bromine and iodine, $C_1 - C_4$ alkyl, such as methyl, ethyl, propyl, isopropyl, butyl and t-butyl, $C_1 - C_4$ alkoxy, such as methoxy, ethoxy, propoxy, isopropoxy, butoxy and t-butoxy, $C_1 - C_4$ alkylthio, such as methylthio, ethylthio, propylthio, isopropylthio, butylthio and t-butylthio, $C_1 - C_4$ haloalkyl, such as trifluoromethyl, trichloromethyl, tribromomethyl, trifluoroethyl, chloromethyl, fluoromethyl and pentafluoroethyl, and amino can be given.

[0016] Moreover, r^1 and r^2 may in together form a carbonyl group.

(Manufacturing of the Compounds)

[0017] The compounds of the present invention can be manufactured according to the following reaction formula;



wherein Hal represents halogen, and R^1 , R^2 , X^2 , X^3 , X^4 , X^5 , r_1 and r_2 are as described above.

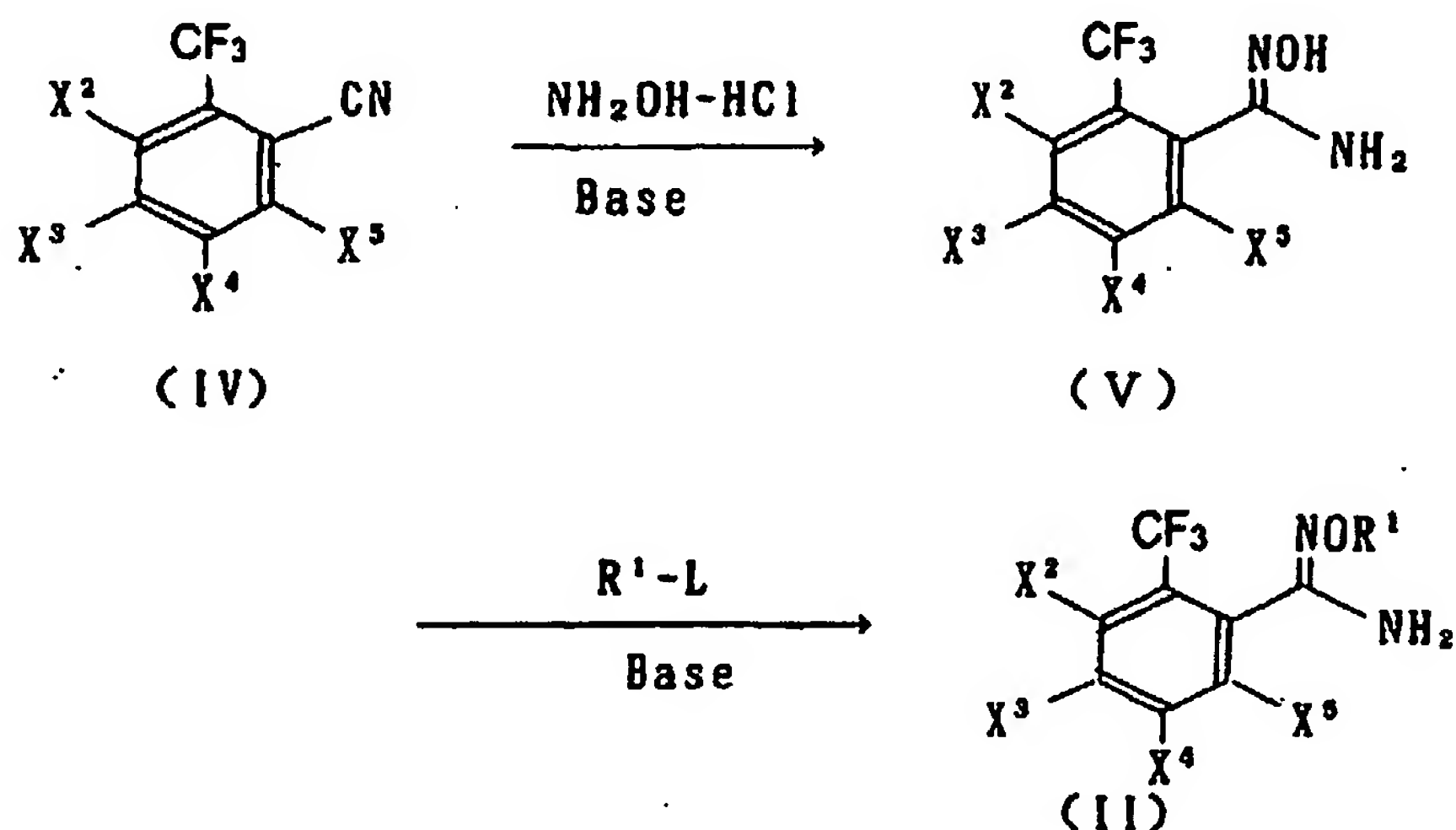
[0018] The reaction described above is carried out by reacting the compound represented by the general formula [II] and the compound represented by the general formula [III] in an organic solvent for from 10 min. to several dozens of hours at a temperature range of from 0°C to the boiling point of the solvent used and in the presence of a base, if appropriate.

[0019] For the examples of the solvent usable in the reaction described above, aromatic hydrocarbons, such as benzene and toluene, ethers, such as THF and diethyl ether, halogenated hydrocarbons, such as chloroform and dichloro methane, amides, such as DMF, DMSO, acetonitrile and the mixture of the solvent exemplified above, can be given.

[0020] As the base usable in the reaction, pyridine, triethyl amine, DBU, sodium hydroxide, sodium carbonate, potassium carbonate or the like can be exemplified.

[0021] After completed the reaction, the objective compounds can be obtained by taking an ordinary post-treatment procedure and allowing the products to the purification by using silica gel column chromatography or the else.

[0022] Whereas, the raw material compound of the present invention, represented by the general formula [II] can be synthesized according to the following reaction formula;



wherein L is an eliminating group, such as paratoluenesulfonyloxy, methylsulfonyloxy and halogen atoms, and R^1 , X^2 , X^3 , X^4 and X^5 are as described above.

[0023] The first step reaction in the reaction formula shown above is to obtain a benzamide oxime compound represented by the general formula [V], wherein a nitrile compound represented by the general formula [IV] and hydroxyl amine hydrochloride are allowed to a reaction for 10 min. to several dozens of hours in an inactive solvent and in the presence of a base at a temperature range of from 0 °C to the boiling point of the solvent used.

[0024] For the examples of the solvent usable in the reaction described above, alcohols, such as methanol, ethanol and propanol, ethers, such as THF and diethyl ether, amides, such as DMF, DMSO, water and the mixture of the solvent exemplified hereinabove, can be given.

[0025] Further, for the examples of the base usable in the reaction described above, sodium carbonate, sodium

hydrogencarbonate, potassium carbonate, sodium hydroxide, potassium hydroxide triethyl amine, pyridine and the like can be given.

[0026] The second step reaction in the reaction formula shown above is to obtain the raw material compound represented by the general formula [II], wherein the compound represented by the general formula [V] and a compound represented by a general formula, R^1-L , are allowed to a reaction for 10 min. to several dozens of hours in a solvent and in the presence of a base at a temperature range of from -15°C to the boiling point of the solvent used.

[0027] For the examples of the base usable in the second step reaction, metal alkoxide, such as sodium methoxide and sodium ethoxide, inorganic bases, such as sodium hydride, sodium hydroxide, potassium hydroxide and potassium carbonate, and organic bases, such as triethyl amine and pyridine, can be given.

[0028] Furthermore, if appropriate, catalysts may be used in the second step reaction, though it depends on the type of the solvent and the base to be used. For the examples of the catalysts usable in the reaction, crown ethers, such as 18-crown-6 and dicyclohexyl-18-crown-6, tetrabutyl ammonium bromide and other chlorides, quaternary ammonium salts, such as methyltrioctyl ammonium chloride and benzyltriethyl ammonium chloride, and phosphonium salts, such as tetraphenyl phosphonium bromide and hexadecyltributyl phosphonium iodide, can be given.

[0029] The chemical structures of the compounds of the present invention were determined by using NMR, IR, MS and the other analytical apparatuses.

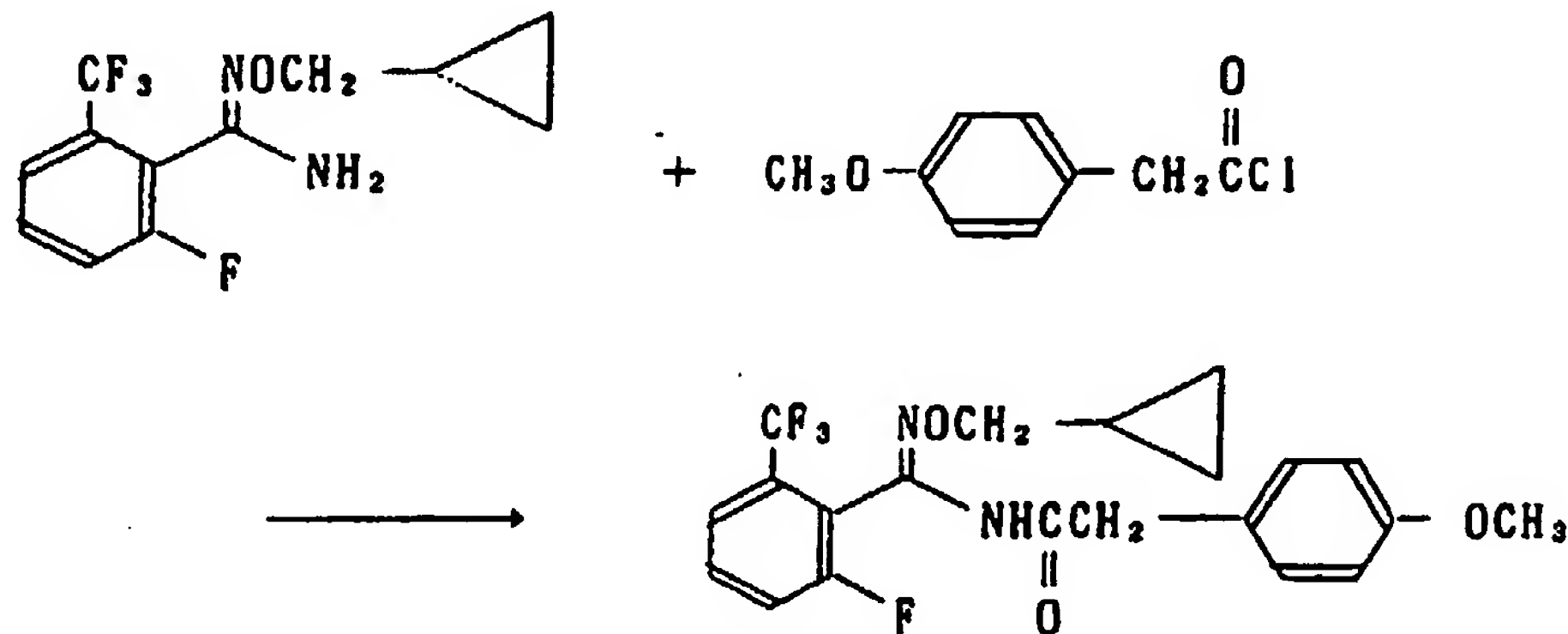
Best Mode for Carrying Out the Invention:

[0030] Now, the present invention is further described in detail with referring to the examples described hereinbelow.

Example 1

Preparation of N'-cyclopropylmethoxy-N-(4-methoxyphenyl)acetyl-2-fluoro-6-trifluoromethylbenzamidine (Compound No. 56)

[0031]

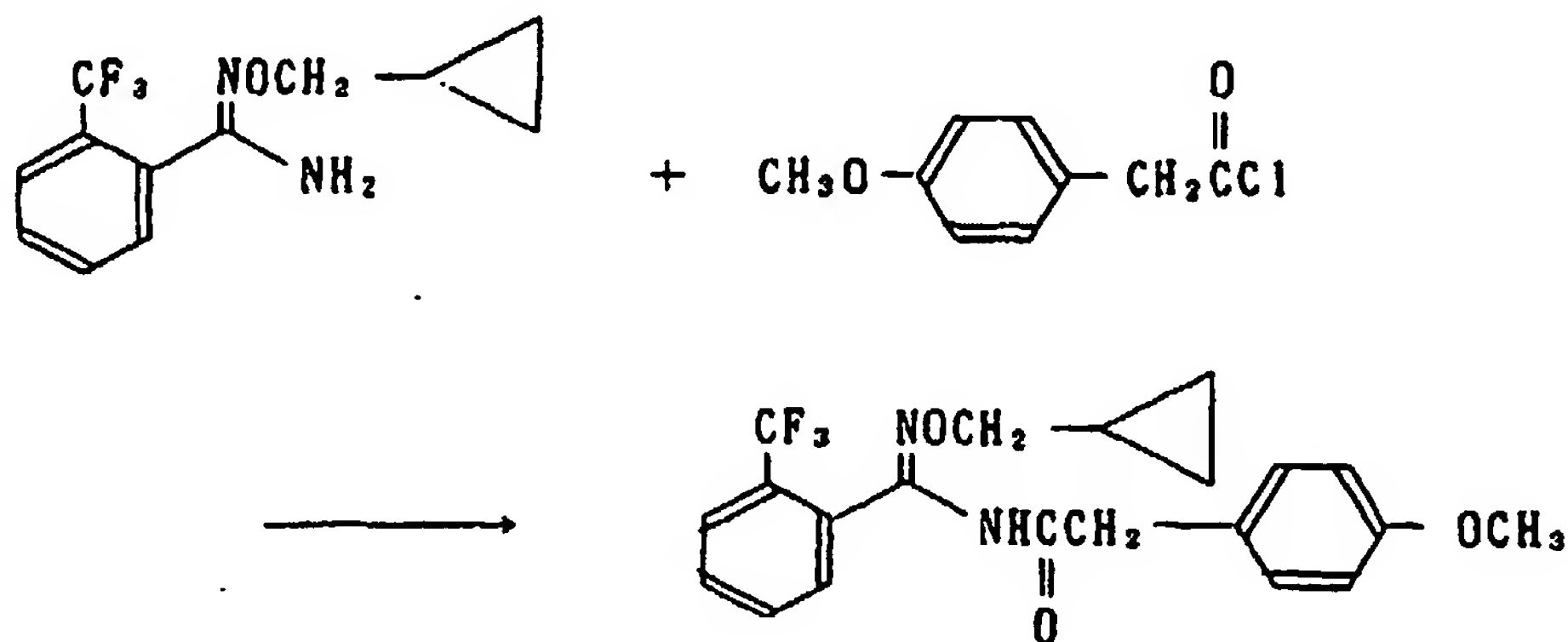


[0032] In 200ml of benzene was dissolved 20.0g of N'-cyclopropylmethoxy-2-fluoro-6-trifluoromethylbenzamidine, and to the solution was added 16.0g of 4-methoxyphenylacetyl chloride. The solution was heated under refluxing for 10 hours. After cooling, ethyl acetate was added to the solution, followed by washing with water and drying over anhydrous magnesium sulfate. The organic layer was concentrated under reduced pressure and the residue was subjected to silica gel column chromatography to obtain 23.6g of the objective compound. m.p. $75-76^{\circ}\text{C}$

Example 2

Preparation N'-cyclopropylmethoxy-N-(4-methoxyphenyl)acetyl-2-trifluoromethyl benzamidine (Compound No. 12)

[0033]



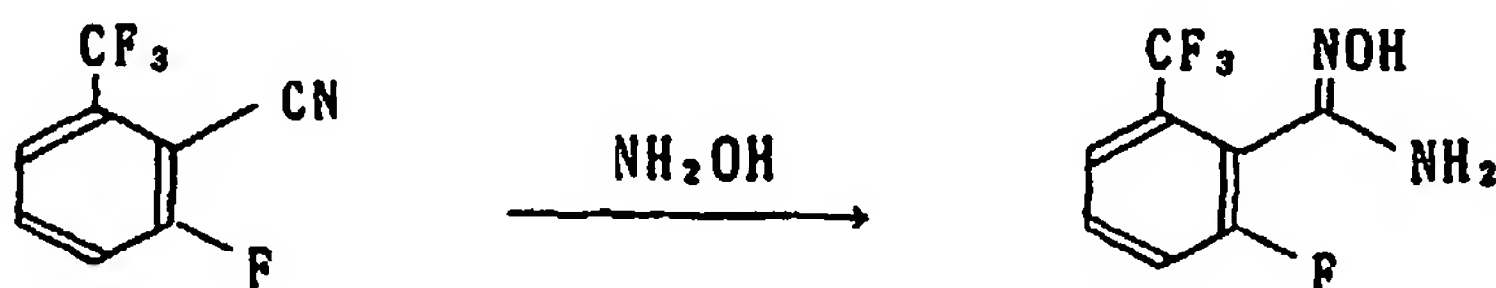
[0034] In 80ml of benzene was dissolved 10.4g of N'-cyclopropylmethoxy-2-fluoro-6-trifluoromethylbenzamide. and to the solution was added 8.9g of 4-methoxyphenylacetylchloride. The solution was heated under refluxing for 3 hours. After cooling, ethyl acetate was added to the solution, followed by washing with water and drying over anhydrous magnesium sulfate. The organic layer was concentrated under reduced pressure and the residue obtained in crystal was washed with a mixed solvent hexane and ether to thereby obtain 13.7g of crude crystal. The crystal was then re-crystallized in hexane. thereby affording 11.5g of the objective compound. m.p. 88-90 °C

[0035] Now, the examples for preparing the raw material compounds described above to be used for the preparing of the compounds of the present invention are described hereinbelow.

Reference Example 1

Preparation of 2-fluoro-6-trifluoromethylbenzamidoxime

[0036]



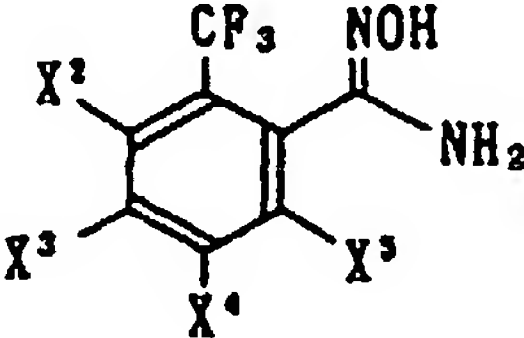
[0037] In 540ml of methanol was dissolved 58.8g of hydroxylamine hydrochloride and to the solution was added 160ml of aqueous solution of sodium carbonate containing 49.4g thereof. 40g of 2-fluoro-6-trifluoromethylbenzonitrile was added thereto at room temperature with stirring, and then further stirred 3 hours at 60°C. After removing the solvent methanol by distillation from the solution, the solution was extracted with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate, and it was concentrated under reduced pressure, thereby obtaining crude crystals. The crystals were then added with 200ml of 3N aqueous solution of hydrochloric acid and thoroughly stirred, then the insoluble substance resulted in the solution was removed by filtration. The filtrate was then neutralized with 10% aqueous solution of sodium hydroxide under cooling and then extracted again with ethyl acetate. The organic layer was dried over anhydrous magnesium sulfate, and the solution was concentrated under reduced pressure to obtain 26.6g of the objective compound.

m.p. 155-157°C

[0038] The examples of benzamide oxime derivatives represented by the general formula [V], which can be manu-

factured according to the methods as described above, are given in Table 1 hereinbelow.

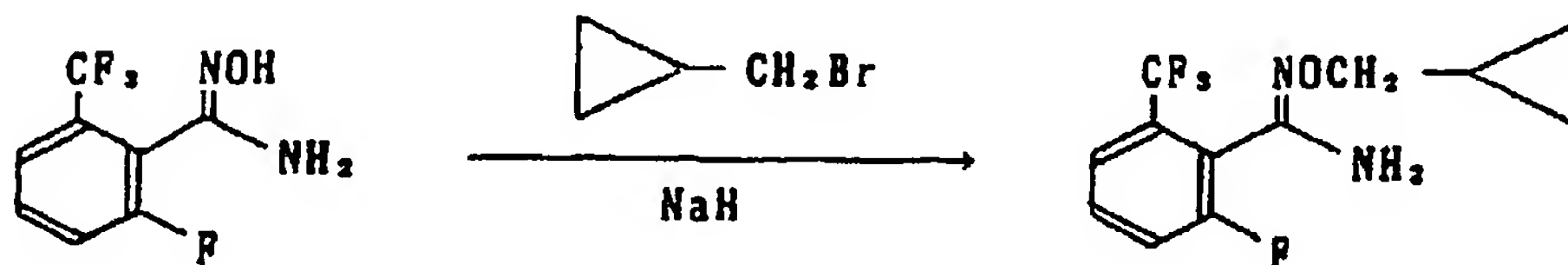
Table 1.

Chemical formula				
				
X ²	X ³	X ⁴	X ⁵	Physical const.
H	H	H	H	mp 124-126°C
H	H	H	Cl	mp 112-115°C
H	H	Cl	F	mp 107-108°C
H	H	Cl	Cl	^{24.0} n _D 1.5210
H	H	H	F	mp 155-157°C
H	H	F	F	mp 105-107°C
H	H	F	Cl	mp 98- 99°C
H	H	CF ₃	Cl	mp 97- 99°C

Reference Example 2

Preparation of N'-cyclopropylmethoxy-2-fluoro-6-trifluoromethylbenzamide

[0039]



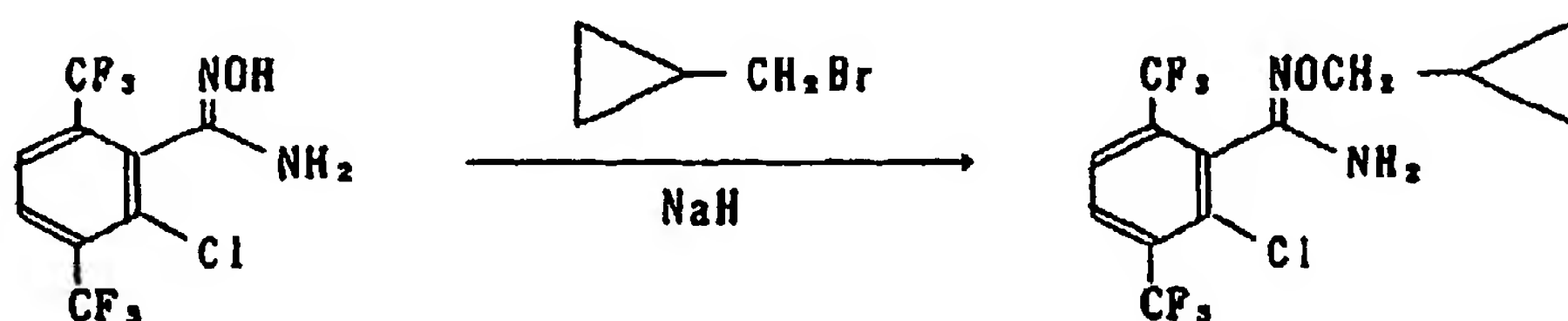
[0040] In 100ml of DMF was dissolved 26.6g of 2-fluoro-6-trifluoromethyl-benzamidoxime and 17.8g of cyclopropylmethylbromide, and to the solution was added 4.8g of sodium hydride (60% in oil) at 10 °C over 30 min. The solution was then stirred for 3 hours, and the solution reacted was poured into ice-water and extracted with ethyl acetate. The organic layer was washed with water and dried over anhydrous magnesium sulfate. The organic layer was concentrated under reduced pressure and the residue was subjected to silica gel column chromatography to obtain 24.8g of the objective compound.
m.p. 63-64°C

Reference Examples 3

Preparation of N'-cyclopropylmethoxy-3,6-bistrifluoromethyl-2-chloro-benzamidine

Preparation of N'-cyclopropylmethoxy-3,6-bistrifluoromethyl-2-chloro benzamidine

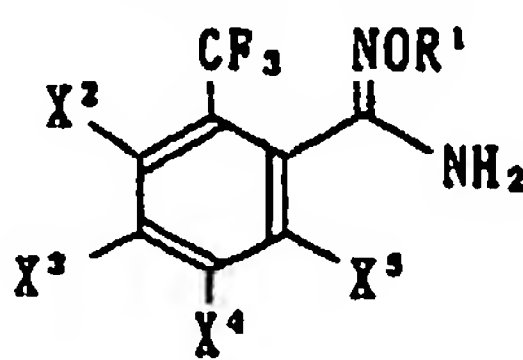
[0041]



[0042] In 10ml of chloroform was dissolved 0.60g of 3,6-bistrifluoromethyl-2-chlorobenzaminoxime and 0.50g of cyclopropylmethylbromide, and to the solution was added 0.1g of tetrabutylammonium bromide at room temperature with stirring, and then 1.2ml of 10% aqueous solution of sodiumhydroxide, then stirred for 3 hours at 30-40°C. The solution was washed with water, washed with saturated saline solution and dried over anhydrous magnesium sulfate. The organic layer was concentrated under reduced pressure and the residue was subjected to silica gel column chromatography to obtain 0.40g of the objective compound.m.p. 75-80°C

[0043] The examples of benzaminoxime derivatives represented by the general formula [II], which can be prepared according to the methods similar to the methods as described above, are given in Table 2 hereinbelow.

Table 2.

Chemical formula					
					
X ²	X ³	X ⁴	X ⁵	R ¹	Physical const.
H	H	H	H	CH ₂ cPr	n _D ^{24.5} 1.4917
H	H	H	F	Et	mp 64-66°C
H	H	H	F	iPr	n _D ^{24.0} 1.4789
H	H	H	F	CH ₂ C(CH ₃) ₃	mp 97-98°C
H	H	H	F	CH ₂ CH=CH ₂	mp 69-70°C
H	H	H	F	CH ₂ C≡CH	n _D ^{23.5} 1.5011
H	H	H	Cl	CH ₂ cPr	mp 43-46°C
H	H	Cl	F	CH ₂ cPr	mp 71-73°C
H	H	Cl	Cl	CH ₂ C≡CH	n _D ^{23.5} 1.5360
Cl	H	H	Cl	CH ₂ cPr	n _D ^{23.5} 1.5308
H	H	Cl	Cl	CH ₂ cPr	mp 73-75°C
H	H	F	F	CH ₂ cPr	mp 43-45°C
H	H	F	Cl	CH ₂ cPr	mp 54-55°C
H	H	CF ₃	Cl	CH ₂ cPr	mp 75-78°C

* cPr represnts cyclopropylmethyl in the tables.

[0044] Now, the representative examples for the compounds of the present invention, which can be manufactured according to the preparation methods similar to the ones described in Examples 1 and 2, are given in Tables 3 and 4. However, it should be noted that X¹, X², X³, X⁴, X⁵, R¹, R², r₁ and r₂ given in the Tables 3 and 4 correspond to X¹, X², X³, X⁴, X⁵, R¹, R², r₁ and r₂ given for the compounds represented by the general formula [I], respectively.

Table 3.

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
1	CF ₃	H	H	H	H	Et	Ph-4-OMe	72-73
2	CF ₃	H	H	H	H	Me	Ph-4-OMe	
3	CF ₃	H	H	H	H	CH ₂ cPr	2-thienyl	93-95
4	CF ₃	H	H	H	H	CH ₂ cPr	3-thienyl	92-93
5	CF ₃	H	H	H	H	CH ₂ cPr	Ph-2,4-F ₂	100-101
6	CF ₃	H	H	H	H	CH ₂ cPr	Ph-2-F	84-85
7	CF ₃	H	H	H	H	CH ₂ cPr	Ph-2-F,4-OMe	84-85
8	CF ₃	H	H	H	H	CH ₂ cPr	Ph-3-Me	81-82
9	CF ₃	H	H	H	H	CH ₂ cPr	Ph-3-Me-4-OMe	77-79
10	CF ₃	H	H	H	H	CH ₂ cPr	Ph-4-F	113-114
11	CF ₃	H	H	H	H	CH ₂ cPr	Ph-4-Me	80-81
12	CF ₃	H	H	H	H	CH ₂ cPr	Ph-4-OMe	88-90
13	CF ₃	H	H	H	H	CH ₂ cPr	Ph	100-102
14	CF ₃	H	H	H	H	nBu	Ph-4-OMe	
15	CF ₃	H	H	H	H	tBu	Ph-4-OMe	
16	CF ₃	H	H	H	F	CH ₂ CH=CH ₂	Ph-4-OMe	n ^{27.0} _D 1.5290
17	CF ₃	H	H	H	F	CH ₂ CH=CH ₂	Ph	n ^{28.5} _D 1.5132
18	CF ₃	H	H	H	F	CH(CH ₃)CH=CH ₂	Ph-4-OMe	76-78
19	CF ₃	H	H	H	F	CH ₂ CH=CHCl	Ph-4-OMe	n ^{25.4} _D 1.5333
20	CF ₃	H	H	H	F	CH ₂ CH=CCl ₂	Ph-4-OMe	n ^{29.7} _D 1.5362
21	CF ₃	H	H	H	F	CH ₂ CH=CH-CH ₃	Ph-4-OMe	n ^{23.2} _D 1.5148
22	CF ₃	H	H	H	F	Et	Ph-4-OMe	70-73
23	CF ₃	H	H	H	F	Et	Ph	59-61
24	CF ₃	H	H	H	F	CH ₂ CH ₂ Cl	Ph-4-OMe	n ^{24.0} _D 1.5330
25	CF ₃	H	H	H	F	CH ₂ CHF ₂	Ph-4-OMe	78-80
26	CF ₃	H	H	H	F	Me	Ph-4-OMe	
27	CF ₃	H	H	H	F	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	n ^{25.5} _D 1.5242
28	CF ₃	H	H	H	F	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	n ^{29.0} _D 1.5162
29	CF ₃	H	H	H	F	CH ₂ CN	Ph-4-OMe	n ^{29.5} _D 1.5113
30	CF ₃	H	H	H	F	CH ₂ CN	Ph	n ^{23.5} _D 1.5226
31	CF ₃	H	H	H	F	CH ₂ OCH ₃	Ph-4-OMe	n ^{24.0} _D 1.5288
32	CF ₃	H	H	H	F	CH ₂ OCH ₃	Ph	n ^{29.5} _D 1.5279
33	CF ₃	H	H	H	F	CH ₂ cPr	3-methyl-- pyrazol-1-yl	n ^{29.8} _D 1.5133
34	CF ₃	H	H	H	F	CH ₂ cPr	4-methyl-- pyrazol-1-yl	n ^{23.6} _D 1.5121
35	CF ₃	H	H	H	F	CH ₂ cPr	pyrazol-1-yl	n ^{22.9} _D 1.5126
36	CF ₃	H	H	H	F	CH ₂ cPr	3-methyl-- 2-thienyl	n ^{29.2} _D 1.5310
37	CF ₃	H	H	H	F	CH ₂ cPr	4-methyl-- 2-thienyl	n ^{23.2} _D 1.5313

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
38	CF ₃	H	H	H	F	CH ₂ cPr	5-methyl-- 2-thienyl	n _D ^{23.9} 1.5353
39	CF ₃	H	H	H	F	CH ₂ cPr	2-thienyl	n _D ^{22.2} 1.5346
40	CF ₃	H	H	H	F	CH ₂ cPr	4-methyl-- 3-thienyl	n _D ^{28.5} 1.5302
41	CF ₃	H	H	H	F	CH ₂ cPr	5-methyl-- 3-thienyl	57-58
42	CF ₃	H	H	H	F	CH ₂ cPr	3-thienyl	70-72
43	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2,4- F ₂	n _D ^{26.0} 1.5083
44	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-F	n _D ^{26.0} 1.5191
45	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-F-3-Me	n _D ^{28.4} 1.5197
46	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-F-4-OMe	n _D ^{27.5} 1.5193
47	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	n _D ^{29.0} 1.6190
48	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-F-5-Me	n _D ^{26.7} 1.5143
49	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3,5-Me ₂	88-89
50	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3-Et	63-64
51	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3-Me	52-53
52	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3-Me-4-F	73-74
53	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3-Me-4-OMe	n _D ^{26.0} 1.5307
54	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-F	58-59
55	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-Me	n _D ^{26.0} 1.5248
56	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OMe	75-76
57	CF ₃	H	H	H	F	CH ₂ cPr	Ph	72-74
58	CF ₃	H	H	H	F	CH ₂ C≡CH	2-thienyl	54-56
59	CF ₃	H	H	H	F	CH ₂ C≡CH	3-thienyl	56-58
60	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-2-F	57-58
61	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-2-F-4-OMe	n _D ^{22.5} 1.5257
62	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-2-F-5-Me	n _D ^{28.0} 1.5192
63	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-3,5-Me ₂	98-100
64	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-4-Me	95-96
65	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph-4-OMe	n _D ^{27.5} 1.5370
66	CF ₃	H	H	H	F	CH ₂ C≡CH	Ph	58-60
67	CF ₃	H	H	H	F	CH ₂ C≡Cl	Ph-4-OMe	n _D ^{22.5} 1.5557
68	CF ₃	H	H	H	F	iPr	Ph-4-OMe	85-86
69	CF ₃	H	H	H	F	iPr	Ph	84-85
70	CF ₃	H	H	H	F	nBu	Ph	
71	CF ₃	H	H	H	F	nPr	Ph-2-F-4-OMe	n _D ^{18.5} 1.5121
72	CF ₃	H	H	H	F	nPr	Ph-2-F-5-Me	n _D ^{18.0} 1.5129
73	CF ₃	H	H	H	F	nPr	Ph-4-Me	59-60
74	CF ₃	H	H	H	F	nPr	Ph-4-OMe	54-55
75	CF ₃	H	H	H	F	nPr	Ph	n _D ^{26.5} 1.5106
76	CF ₃	H	H	H	F	tBu	Ph	
77	CF ₃	H	H	H	Cl	CH ₂ CH=CH ₂	Ph-4-OMe	
78	CF ₃	H	H	H	Cl	CH(CH ₃)CH=CH ₂	Ph-4-OMe	
79	CF ₃	H	H	H	Cl	CH ₂ CH=CHCl	Ph-4-OMe	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
80	CF ₃	H	H	H	Cl	CH ₂ CH=CCl ₂	Ph-4-OMe	68-70
81	CF ₃	H	H	H	Cl	CH ₂ CH=CHCH ₃	Ph-4-OMe	
82	CF ₃	H	H	H	Cl	Et	Ph-4-OMe	
83	CF ₃	H	H	H	Cl	CH ₂ CH ₂ Cl	Ph-4-OMe	
84	CF ₃	H	H	H	Cl	CH ₂ CHF ₂	Ph-4-OMe	
85	CF ₃	H	H	H	Cl	Me	Ph-4-OMe	
86	CF ₃	H	H	H	Cl	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	
87	CF ₃	H	H	H	Cl	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	
88	CF ₃	H	H	H	Cl	CH ₂ CN	Ph-4-OMe	
89	CF ₃	H	H	H	Cl	CH ₂ OMe	Pb-4-OMe	
90	CF ₃	H	H	H	Cl	CH ₂ cPr	3-methyl-- pyrazol-1-yl	47-49
91	CF ₃	H	H	H	Cl	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
92	CF ₃	H	H	H	Cl	CH ₂ cPr	pyrazol-1-yl	
93	CF ₃	H	H	H	Cl	CH ₂ cPr	3-methyl-- 2-thienyl	
94	CF ₃	H	H	H	Cl	CH ₂ cPr	4-methyl-- 2-thienyl	
95	CF ₃	H	H	H	Cl	CH ₂ cPr	5-methyl-- 2-thienyl	
96	CF ₃	H	H	H	Cl	CH ₂ cPr	2-thienyl	
97	CF ₃	H	H	H	Cl	CH ₂ cPr	4-methyl-- 3-thienyl	
98	CF ₃	H	H	H	Cl	CH ₂ cPr	5-methyl-- 3-thienyl	
99	CF ₃	H	H	H	Cl	CH ₂ cPr	3-thienyl	
100	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2,4-F ₂	74-76
101	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2-F	
102	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2-F-3-Me	
103	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2-F-4-OMe	
104	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	
105	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-2-F-5-Me	
106	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-3,5-Me ₂	
107	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-3-Me	
108	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-3-Me-4-F	
109	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-3-Me-4-OMe	
110	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-4-F	65-67
111	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-4-Me	
112	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph-4-OMe	
113	CF ₃	H	H	H	Cl	CH ₂ cPr	Ph	
114	CF ₃	H	H	H	Cl	CH ₂ C≡CH	Ph-4-OMe	
115	CF ₃	H	H	H	Cl	CH ₂ C=CH	Ph	
116	CF ₃	H	H	H	Cl	CH ₂ C=Cl	Ph-4-OMe	
117	CF ₃	H	H	H	Cl	iPr	Ph-4-OMe	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
118	CF ₃	H	H	H	Cl	nBu	Ph-4-OMe	n ^{22.4} _D 1.5082
119	CF ₃	H	H	H	Cl	nPr	Ph-4-OMe	
120	CF ₃	H	H	H	Cl	tBu	Ph-4-OMe	
121	CF ₃	H	H	H	CF ₃	CH ₂ CH=CH ₂	Ph-4-OMe	
122	CF ₃	H	H	H	CF ₃	CH(CH ₃)CH=CH ₂	Ph-4-OMe	
123	CF ₃	H	H	H	CF ₃	CH ₂ CH=CHCl	Ph-4-OMe	
124	CF ₃	H	H	H	CF ₃	CH ₂ CH=CCl ₂	Ph-4-OMe	
125	CF ₃	H	H	H	CF ₃	CH ₂ CH=CHCH ₃	Ph-4-OMe	
126	CF ₃	H	H	H	CF ₃	Et	Ph-4-OMe	
127	CF ₃	H	H	H	CF ₃	CH ₂ CH ₂ Cl	Ph-4-OMe	
128	CF ₃	H	H	H	CF ₃	CH ₂ CHF ₂	Ph-4-OMe	
129	CF ₃	H	H	H	CF ₃	Me	Ph-4-OMe	
130	CF ₃	H	H	H	CF ₃	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	
131	CF ₃	H	H	H	CF ₃	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	
132	CF ₃	H	H	H	CF ₃	CH ₂ CN	Ph-4-OMe	
133	CF ₃	H	H	H	CF ₃	CH ₂ OMe	Ph-4-OMe	
134	CF ₃	H	H	H	CF ₃	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
135	CF ₃	H	H	H	CF ₃	CH ₂ cPr	4-methyl-- -pyrazol-1-yl Ph	
136	CF ₃	H	H	H	CF ₃	CH ₂ cPr	pyrazol-1-yl	
137	CF ₃	H	H	H	CF ₃	CH ₂ cPr	3-methyl-- 2-thienyl	
138	CF ₃	H	H	H	CF ₃	CH ₂ cPr	4-methyl-- 2-thienyl	
139	CF ₃	H	H	H	CF ₃	CH ₂ cPr	5-methyl-- 2-thienyl	
140	CF ₃	H	H	H	CF ₃	CH ₂ cPr	2-thienyl	
141	CF ₃	H	H	H	CF ₃	CH ₂ cPr	4-methyl-- 3-thienyl	
142	CF ₃	H	H	H	CF ₃	CH ₂ cPr	5-methyl-- 3-thienyl	
143	CF ₃	H	H	H	CF ₃	CH ₂ cPr	3-thienyl	
144	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2,4-F ₂	
145	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2-F	
146	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2-F-3-Me	
147	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2-F-4-OMe	
148	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2-P-4-OMe-- 5-Me	
149	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-2-F-5-Me	74 -75
150	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-3,5-Me ₂	
151	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-3-Me	
152	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-3-Me-4-F	
153	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-3-Me-4-OMe	
154	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-4-F	
155	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-4-Me	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
156	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph-4-OMe	n _D ^{22.6} 1.5031 68-69
157	CF ₃	H	H	H	CF ₃	CH ₂ cPr	Ph	
158	CF ₃	H	H	H	CF ₃	CH ₂ C≡CH	Ph-4-OMe	
159	CF ₃	H	H	H	CF ₃	CH ₂ C≡Cl	Ph-4-OMe	
160	CF ₃	H	H	H	CF ₃	iPr	Ph-4-OMe	
161	CF ₃	H	H	H	CF ₃	nBu	Ph-4-OMe	
162	CF ₃	H	H	H	CF ₃	nPr	Ph-4-OMe	
163	CF ₃	H	H	H	CF ₃	tBu	Ph-4-OMe	
164	CF ₃	H	H	H	OMe	CH ₂ CH=CH ₂	Ph-4-OMe	
165	CF ₃	H	H	H	OMe	CH(CH ₃)CH=CH ₂	Ph-4-OMe	
166	CF ₃	H	H	H	OMe	CH ₂ CH=CHCl	Ph-4-OMe	95-96
167	CF ₃	H	H	H	OMe	CH ₂ CH=CCl ₂	Ph-4-OMe	
168	CF ₃	H	H	H	OMe	CH ₂ CH=CHCH ₃	Ph-4-OMe	
169	CF ₃	H	H	H	OMe	Et	Ph-4-OMe	
170	CF ₃	H	H	H	OMe	CH ₂ CH ₂ Cl	Ph-4-OMe	
171	CF ₃	H	H	H	OMe	CH ₂ CHF ₂	Ph-4-OMe	
172	CF ₃	H	H	H	OMe	Me	Ph-4-OMe	
173	CF ₃	H	H	H	OMe	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	
174	CF ₃	H	H	H	OMe	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	
175	CF ₃	H	H	H	OMe	CH ₂ CN	Ph-4-OMe	
176	CF ₃	H	H	H	OMe	CH ₂ OMe	Ph-4-OMe	3-methyl-- pyrazol-1-yl
177	CF ₃	H	H	H	OMe	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
178	CF ₃	H	H	H	OMe	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
179	CF ₃	H	H	H	OMe	CH ₂ cPr	pyrazol-1-yl	
180	CF ₃	H	H	H	OMe	CH ₂ cPr	3-methyl-- 2-thienyl	
181	CF ₃	H	H	H	OMe	CH ₂ cPr	4-methyl-- 2-thienyl	
182	CF ₃	H	H	H	OMe	CH ₂ cPr	5-methyl-- 2-thienyl	
183	CF ₃	H	H	H	OMe	CH ₂ cPr	2-thienyl	
184	CF ₃	H	H	H	OMe	CH ₂ cPr	4-methyl- -3-thienyl	
185	CF ₃	H	H	H	OMe	CH ₂ cPr	5-methyl-- 3-thienyl	3-thienyl
186	CF ₃	H	H	H	OMe	CH ₂ cPr	3-thienyl	
187	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2,4-F ₂	
188	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2-F	
189	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2-F-3-Me	
190	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2-F-4-OMe	
191	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	
192	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-2-F-5-Me	
193	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3,5-Me ₂	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
194	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3-Me	92-93
195	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3-Me-4-F	
196	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3-Me-4-OMe	
197	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-4-F	
198	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-4-Me	
199	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-4-OMe	
200	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3-Cl-4-OMe	
201	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph-3-Cl-4-OMe-- 5-Me	
202	CF ₃	H	H	H	OMe	CH ₂ cPr	Ph	
203	CF ₃	H	H	H	OMe	CH ₂ C≡CH	Ph-4-OMe	89-90
204	CF ₃	H	H	H	OMe	CH ₂ C≡Cl	Ph-4-OMe	
205	CF ₃	H	H	H	OMe	iPr	Ph-4-OMe	
206	CF ₃	H	H	H	OMe	nBu	Ph-4-OMe	
207	CF ₃	H	H	H	OMe	nPr	Ph-4-OMe	
208	CF ₃	H	H	H	OMe	tBu	Ph-4-OMe	
209	CF ₃	H	H	H	SMe	Et	Ph-4-OMe	
210	CF ₃	H	H	H	SMe	Me	Ph-4-OMe	
211	CF ₃	H	H	H	SMe	CH ₂ cPr	Ph-4-OMe	
212	CF ₃	H	H	H	SMe	CH ₂ cPr	Ph	73-75 106-109
213	CF ₃	H	H	H	SMe	nBu	Ph-4-OMe	
214	CF ₃	H	H	H	SMe	tBu	Ph-4-OMe	
215	CF ₃	H	H	Cl	H	CH ₂ CH=CH ₂	Ph-4-OMe	
216	CF ₃	H	H	Cl	H	Et	Ph-4-OMe	
217	CF ₃	H	H	Cl	H	Me	Ph-4-OMe	
218	CF ₃	H	H	Cl	H	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
219	CF ₃	H	H	Cl	H	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
220	CF ₃	H	H	Cl	H	CH ₂ cPr	pyrazol-1-yl	
221	CF ₃	H	H	Cl	H	CH ₂ cPr	3-methyl-- 2-thienyl	50
222	CF ₃	H	H	Cl	H	CH ₂ cPr	4-methyl-- 2-thienyl	
223	CF ₃	H	H	Cl	H	CH ₂ cPr	5-methyl-- 2-thienyl	
224	CF ₃	H	H	Cl	H	CH ₂ cPr	2-thienyl	
225	CF ₃	H	H	Cl	H	CH ₂ cPr	4-methyl-- 3-thienyl	
226	CF ₃	H	H	Cl	H	CH ₂ cPr	5-methyl-- 3-thienyl	
227	CF ₃	H	H	Cl	H	CH ₂ cPr	3-thienyl	
228	CF ₃	H	H	Cl	H	CH ₂ cPr	Ph-4-OMe	
229	CF ₃	H	H	Cl	H	CH ₂ C≡CH	Ph-4-OMe	
230	CF ₃	H	H	Cl	H	iPr	Ph-4-OMe	55
231	CF ₃	H	H	Cl	H	nBu	Ph-4-OMe	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
232	CF ₃	H	H	Cl	H	nPr	Ph-4-OMe	81-83
233	CF ₃	H	H	Cl	H	tBu	Ph-4-OMe	
234	CF ₃	H	H	Cl	F	CH ₂ CH=CH ₂	Ph-4-OMe	
235	CF ₃	H	H	Cl	F	CH(CH ₃)CH=CH ₂	Ph-4-OMe	
236	CF ₃	H	H	Cl	F	CH ₂ CH=CHCl	Ph-4-OMe	
237	CF ₃	H	H	Cl	F	CH ₂ CH=CCl ₂	Ph-4-OMe	99-100 n _D ^{24.0} 1.5301 90-91 n _D ^{24.0} 1.5241
238	CF ₃	H	H	Cl	F	CH ₂ CH=CHCH ₃	Ph-4-OMe	
239	CF ₃	H	H	Cl	F	Et	Ph-4-OMe	
240	CF ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph-4-OMe	
241	CF ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph	
242	CF ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	112-113
243	CF ₃	H	H	Cl	F	CH ₂ CHF ₂	Ph-4-OMe	
244	CF ₃	H	H	Cl	F	Me	Ph-4-OMe	
245	CF ₃	H	H	Cl	F	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	
246	CF ₃	H	H	Cl	F	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	
247	CF ₃	H	H	Cl	F	CH ₂ CN	Ph-4-OMe	125-126
248	CF ₃	H	H	Cl	F	CH ₂ OMe	Ph-4-OMe	
249	CF ₃	H	H	Cl	F	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
250	CF ₃	H	H	Cl	F	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
251	CF ₃	H	H	Cl	F	CH ₂ cPr	pyrazol-1-yl	
252	CF ₃	H	H	Cl	F	CH ₂ cPr	3-methyl-- 2-thienyl	57-59
253	CF ₃	H	H	Cl	F	CH ₂ cPr	4-methyl-- 2-thienyl	
254	CF ₃	H	H	Cl	F	CH ₂ cPr	5-methyl-- 2-thienyl	
255	CF ₃	H	H	Cl	F	CH ₂ cPr	2-thienyl	
256	CF ₃	H	H	Cl	F	CH ₂ cPr	4-methyl-- 3-thienyl	
257	CF ₃	H	H	Cl	F	CH ₂ cPr	5-methyl-- 3-thienyl	73-74 n _D ^{26.7} 1.5200
258	CF ₃	H	H	Cl	F	CH ₂ cPr	3-thienyl	
259	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2,4-F ₂	
260	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2-F	
261	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2-F-3-Me	
262	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2F-4-OMe	60-62
263	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	
264	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-2-F-5-Me	
265	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-3,5-Me ₂	
266	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-3-Me	
267	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-3-Me-4-F	92-93
268	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-3-Me-4-OMe	98-99
269	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-4-F	86-87 94-95

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
270	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-4-Me	75-76
271	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph-4OMe	98
272	CF ₃	H	H	Cl	F	CH ₂ cPr	Ph	112
273	CF ₃	H	H	Cl	F	CH ₂ C≡CH	Ph	54-58
274	CF ₃	H	H	Cl	F	CH ₂ C≡CH	Ph-2-F-5-Me	91-92
275	CF ₃	H	H	Cl	F	CH ₂ C≡CH	Ph-4-OMe	102-103
276	CF ₃	H	H	Cl	F	CH ₂ C≡Cl	Ph-4-OMe	112-114
277	CF ₃	H	H	Cl	F	iPr	Ph-4-OMe	124-125
278	CF ₃	H	H	Cl	F	nBu	Ph-4-OMe	
279	CF ₃	H	H	Cl	F	nPr	Ph-4-OMe	90-91
280	CF ₃	H	H	Cl	F	tBu	Ph-4-OMe	
281	CF ₃	H	H	Cl	Cl	CH ₂ CH=CH ₂	Ph-4-OMe	80-81
282	CF ₃	H	H	Cl	Cl	CH(CH ₃)CH=CH ₂	Ph-4-OMe	
283	CF ₃	H	H	Cl	Cl	CH ₂ CH=CHCl	Ph-4-OMe	
284	CF ₃	H	H	Cl	Cl	CH ₂ CH=CCl ₂	Ph-4-OMe	
285	CF ₃	H	H	Cl	Cl	CH ₂ CH=CHCH ₃	Ph-4-OMe	
286	CF ₃	H	H	Cl	Cl	Et	Ph	123-124
287	CF ₃	H	H	Cl	Cl	Et	Ph-2-F-5-Me	78-81
288	CF ₃	H	H	Cl	Cl	Et	Ph-4-OMe	90-91
289	CF ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph	104-105
290	CF ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	n _D ^{28.5} 1.5309
291	CF ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph-4-OMe	
292	CF ₃	H	H	Cl	Cl	CH ₂ CHF ₂	Ph-4-OMe	
293	CF ₃	H	H	Cl	Cl	Me	Ph-4-OMe	77-79
294	CF ₃	H	H	Cl	Cl	CH ₂ C(Cl)=CH ₂	Ph-4-OMe	
295	CF ₃	H	H	Cl	Cl	CH ₂ C(CH ₃)=CH ₂	Ph-4-OMe	
296	CF ₃	H	H	Cl	Cl	CH ₂ CN	Ph-4-OMe	
297	CF ₃	H	H	Cl	Cl	CH ₂ OMe	Ph-4-OMe	
298	CF ₃	H	H	Cl	Cl	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
299	CF ₃	H	H	Cl	Cl	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
300	CF ₃	H	H	Cl	Cl	CH ₂ cPr	pyrazol-1-yl	
301	CF ₃	H	H	Cl	Cl	CH ₂ cPr	3-methyl-- 2-thienyl	
302	CF ₃	H	H	Cl	Cl	CH ₂ cPr	4-methyl-- 2-thienyl	
303	CF ₃	H	H	Cl	Cl	CH ₂ cPr	5-methyl-- 2-thienyl	
304	CF ₃	H	H	Cl	Cl	CH ₂ cPr	2-thienyl	139-141
305	CF ₃	H	H	Cl	Cl	CH ₂ cPr	4-methyl-- 3-thienyl	
306	CF ₃	H	H	Cl	Cl	CH ₂ cPr	5-methyl-- 3-thienyl	
307	CF ₃	H	H	Cl	Cl	CH ₂ cPr	3-thienyl	140-141
308	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2,4-F ₂	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
309	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F	n _D ^{23.0} 1.5404
310	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F-3-Me	
311	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F-4-OMe	n _D ^{22.5} 1.5371
312	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	n _D ^{29.5} 1.5287
313	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F-5-Me	56-57
314	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-3,5-Me ₂	
315	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-3-Me	111-114
316	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-3-Me-4-F	113-114
317	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-3-Me-4-OMe	
318	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-4-F	
319	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-4-Me	93-95
320	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph-4-OMe	97-98
321	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Ph	134-135
322	CF ₃	H	H	Cl	Cl	CH ₂ C≡CH	Ph	96-98
323	CF ₃	H	H	Cl	Cl	CH ₂ C≡CH	Ph-2-F-5-Me	77-79
324	CF ₃	H	H	Cl	Cl	CH ₂ C≡CH	Ph-4-OMe	94-96
325	CF ₃	H	H	Cl	Cl	CH ₂ C≡Cl	Ph-4-OMe	
326	CF ₃	H	H	Cl	Cl	iPr	Ph-4-OMe	123-124
327	CF ₃	H	H	Cl	Cl	nBu	Ph-4-OMe	
328	CF ₃	H	H	Cl	Cl	nPr	Ph-4-OMe	88-89
329	CF ₃	H	H	Cl	Cl	tBu	Ph-4-OMe	
330	CF ₃	H	H	SMe	F	CH ₂ cPr	Ph-4-OMe	114-115
331	CF ₃	H	H	OMe	F	CH ₂ cPr	Ph-4-OMe	125-126
332	CF ₃	H	H	OMe	F	CH ₂ cPr	Ph-4-OMe	135-136
333	CF ₃	H	H	Me	F	CH ₂ cPr	Ph-4-OMe	71-72
334	CF ₃	H	F	H	H	Et	Ph-4-OMe	70-72
335	CF ₃	H	F	H	H	Me	Ph-4-OMe	
336	CF ₃	H	F	H	H	CH ₂ cPr	Ph-4-OMe	97-99
337	CF ₃	H	F	H	H	CH ₂ cPr	Ph	117-119
338	CF ₃	H	F	H	H	nBu	Ph-4-OMe	
339	CF ₃	H	F	H	H	tBu	Ph	
340	CF ₃	H	Cl	H	H	Et	Ph-4-OMe	89-91
341	CF ₃	H	Cl	H	H	Me	Ph-4-OMe	
342	CF ₃	H	Cl	H	H	CH ₂ cPr	Ph-4-OMe	97-99
343	CF ₃	H	Cl	H	H	CH ₂ cPr	Ph	91-92
344	CF ₃	H	Cl	H	H	nBu	Ph-4-OMe	
345	CF ₃	H	Cl	H	H	tBu	Ph	
346	CF ₃	Cl	H	H	F	CH ₂ cPr	Ph-4-OMe	Oil, η
347	CF ₃	Cl	H	H	Cl	CH ₂ cPr	2-thienyl	74
348	CF ₃	Cl	H	H	Cl	CH ₂ cPr	Ph-4-OMe	100
349	CF ₃	Cl	H	H	Cl	CH ₂ cPr	Ph	95-96
350	CF ₃	H	H	F	F	CH ₂ CH=CH ₂	Ph-4-OMe	
351	CF ₃	H	H	F	F	Et	Ph	81-83
352	CF ₃	H	H	F	F	Et	Ph-2-F-5-Me	79-80
353	CF ₃	H	H	F	F	Et	Ph-4-OMe	78-79

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
354	CF ₃	H	H	F	F	CH ₂ CH ₂ Cl	Ph	n _D ^{23.5} 1.5245
355	CF ₃	H	H	F	F	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	
356	CF ₃	H	H	F	F	CH ₂ CH ₂ Cl	Ph-4-OMe	
357	CF ₃	H	H	F	F	Me	Ph-4-OMe	
358	CF ₃	H	H	F	F	CH ₂ OMe	Ph-4-OMe	
359	CF ₃	H	H	F	P	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
360	CF ₃	H	H	F	F	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
361	CF ₃	H	H	F	F	CH ₂ cPr	pyrazol-1-yl	
362	CF ₃	H	H	F	F	CH ₂ cPr	3-methyl-- 2-thienyl	
363	CF ₃	H	H	F	F	CH ₂ cPr	4-methyl-- 2-thienyl	
364	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2-F	56-58
365	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2-F-3-Me	
366	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2F-4-OMe	
367	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2F-4-OMe-- 5-Me	70-73
368	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2F-5-Me	67-69
369	CF ₃	H	H	F	F	CH ₂ cPr	Ph-3,5-Me ₂	
370	CF ₃	H	H	F	F	CH ₂ cPr	Ph-3-Me	70-72
371	CF ₃	H	H	F	F	CH ₂ cPr	Ph-3-Me-4-F	51-53
372	CF ₃	H	H	F	F	CH ₂ cPr	Ph-3-Me-4-OMe	56-57
373	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-F	70-72
374	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-Me	64-66
375	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OMe	73-74
376	CF ₃	H	H	F	F	CH ₂ cPr	Ph	61-62
377	CF ₃	H	H	F	F	CH ₂ C≡CH	Ph	76-78
378	CF ₃	H	H	F	F	CH ₂ C≡CH	Ph-2-F-5-Me	84-86
379	CF ₃	H	H	F	F	CH ₂ C≡CH	Ph-4-OMe	100-102
380	CF ₃	H	H	F	F	CH ₂ C≡Cl	Ph-4-OMe	
381	CF ₃	H	H	F	F	iPr	Ph-4-OMe	65-66
382	CF ₃	H	H	F	F	nBu	Ph-4-OMe	
383	CF ₃	H	H	F	F	nPr	Ph-4-OMe	67-69
384	CF ₃	H	H	F	F	tBu	Ph-4-OMe	
385	CF ₃	H	H	F	F	CH ₂ cPr	5-methyl-- 2-thienyl	
386	CF ₃	H	H	F	F	CH ₂ cPr	2-thienyl	69-71
387	CF ₃	H	H	F	F	CH ₂ cPr	4-methyl-- 3-thienyl	
388	CF ₃	H	H	F	F	CH ₂ cPr	5-methyl-- 3-thienyl	
389	CF ₃	H	H	F	F	CH ₂ cPr	3-thienyl	79-81
390	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2,4-F ₂	
391	CF ₃	H	H	Cl	F	nPr	Ph	113-114
392	CF ₃	H	H	Cl	F	nPr	Ph-2-F-5-Me	60-61

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Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
393	CF ₃	H	H	Cl	F	iPr	Ph	111-112
394	CF ₃	H	H	Cl	F	iPr	Ph-2-F-5-Me	
395	CF ₃	H	H	Cl	F	CH ₂ CH=CH ₂	Ph	107-108
396	CF ₃	H	H	Cl	F	CH ₂ CH=CH ₂	Ph-2-F-5-Me	68-70
397	CF ₃	H	H	Cl	F	CH ₃	Ph	
398	CF ₃	H	H	Cl	F	CH ₃	Ph-2-F-5-Me	
399	CF ₃	H	H	Cl	F	CH ₂ C=Cl	Ph	90-91
400	CF ₃	H	H	Cl	F	CH ₂ C≡Cl	Ph-2-F-5-Me	n _D ^{25.0} 1.5491
401	CF ₃	H	H	Cl	F	CH ₂ CH ₃	Ph	101-102
402	CF ₃	H	H	Cl	F	CH ₂ CH ₃	Ph-2-F-5-Me	60-62
403	CF ₃	H	H	Cl	Cl	nPr	Ph	128-129
404	CF ₃	H	H	Cl	Cl	nPr	Ph-2-F-5-Me	n _D ^{24.0} 1.5212
405	CF ₃	H	H	Cl	Cl	iPr	Ph	125-127
406	CF ₃	H	H	Cl	Cl	iPr	Ph-2-P-5-Me	n _D ^{24.7} 1.5245
407	CF ₃	H	H	Cl	Cl	CH ₂ CH=CH ₂	Ph	115-116
408	CF ₃	H	H	Cl	Cl	CH ₂ CH=CH ₂	Ph-2-F-5-Me	62-63
409	CF ₃	H	H	Cl	Cl	CH ₃	Ph	111-113
410	CF ₃	H	H	Cl	Cl	CH ₃	Ph-2-P-5-Me	80-81
411	CF ₃	H	H	Cl	Cl	CH ₂ C=Cl	Ph	
412	CF ₃	H	H	Cl	Cl	CH ₂ C≡Cl	Ph-2-F-5-Me	
413	CF ₃	H	H	F	F	nPr	Ph	56-58
414	CF ₃	H	H	F	F	nPr	Ph-2-F-5-Me	38-40
415	CF ₃	H	H	F	F	iPr	Ph	81-82.5
416	CF ₃	H	H	F	F	iPr	Ph-2-F-5-Me	
417	CF ₃	H	H	F	F	CH ₂ CH=CH ₂	Ph	
418	CF ₃	H	H	F	F	CH ₂ CH=CH ₂	Ph-2-F-5-Me	
419	CF ₃	H	H	F	F	CH ₃	Ph	
420	CF ₃	H	H	F	F	CH ₃	Ph-2-F-5-Me	
421	CF ₃	H	H	F	F	CH ₂ C≡Cl	Ph	
422	CF ₃	H	H	F	F	CH ₂ C≡Cl	Ph-2-F-5-Me	
423	CF ₃	H	H	F	Cl	CH ₂ CH=CH ₂	Ph	
424	CF ₃	H	H	F	Cl	CH ₂ CH=CH ₂	Ph-4-OMe	
425	CF ₃	H	H	F	Cl	CH ₂ CH=CH ₂	Ph-2-F-5-Me	
426	CF ₃	H	H	F	Cl	CH ₂ CH ₃	Ph	
427	CF ₃	H	H	F	Cl	CH ₃ CH ₃	Ph-4-OMe	
428	CF ₃	H	H	F	Cl	CH ₂ CH ₃	Ph-2-F-5-Me	
429	CF ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph	n _D ^{24.5} 1.5344
430	CF ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph-4-OMe	n _D ^{24.5} 1.5294
431	CF ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	
432	CF ₃	H	H	F	Cl	CH ₃	Ph	
433	CF ₃	H	H	F	Cl	CH ₃	Ph-4-OMe	
434	CF ₃	H	H	F	Cl	CH ₃	Ph-2-F-5-Me	
435	CF ₃	H	H	F	Cl	CH ₂ OMe	Ph-4-OMe	
436	CF ₃	H	H	F	Cl	CH ₂ cPr	3-methyl-- pyrazol-1-yl	

Table 3. (continued)

(r ¹ , r ² =H)										
	No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index	
5	437	CF ₃	H	H	F	Cl	CH ₂ cPr	4-methyl-- pyrazol-1-yl	104-106	
10	438	CF ₃	H	H	F	Cl	CH ₂ cPr	pyrazol-1-yl		
	439	CF ₃	H	H	F	Cl	CH ₂ cPr	3-methyl-- 2-thienyl		
	440	CF ₃	H	H	F	Cl	CH ₂ cPr	4-methyl-- 2-thienyl		
15	441	CF ₃	H	H	F	Cl	CH ₂ cPr	5-methyl-- 2-thienyl		113-115
	442	CF ₃	H	H	F	Cl	CH ₂ cPr	2-thienyl		
	443	CF ₃	H	H	F	Cl	CH ₂ cPr	4-methyl-- 3-thienyl		
20	444	CF ₃	H	H	F	Cl	CH ₂ cPr	5-methyl-- 3-thienyl		113-115
	445	CF ₃	H	H	F	Cl	CH ₂ cPr	3-thienyl		
	446	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2,4-F ₂		
25	447	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2-F	n ^{23.8} _D 1.5262	
	448	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2-F-3-Me		
	449	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2-F-4-OMe		
	450	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me		
30	451	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-2-F-5-Me	62-63	
	452	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-3,5-Me ₂		
	453	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-3-Me		
35	454	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-3-Me-4-F	96-98	
	455	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-3-Me-4-OMe		
	456	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-4-F		
	457	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-4-Me		
40	458	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph-4-OMe	72-73	
	459	CF ₃	H	H	F	Cl	CH ₂ cPr	Ph		
	460	CF ₃	H	H	F	Cl	CH ₂ C≡CH	Ph		
	461	CF ₃	H	H	F	Cl	CH ₂ C≡CH	Ph-4-OMe		
45	462	CF ₃	H	H	F	Cl	CH ₂ C≡CH	Ph-2-F-5-Me	76-77	
	463	CF ₃	H	H	F	Cl	CH ₂ C≡Cl	Ph-4-OMe		
	464	CF ₃	H	H	F	Cl	iPr	Ph		
	465	CF ₃	H	H	F	Cl	iPr	Ph-4-OMe		
50	466	CF ₃	H	H	F	Cl	iPr	Ph-2-F-5-Me	75-76	
	467	CF ₃	H	H	F	Cl	nPr	Ph		
	468	CF ₃	H	H	F	Cl	nPr	Ph-4-OMe		
	469	CF ₃	H	H	F	Cl	nPr	Ph-2-F-5-Me		
55	470	CF ₃	H	H	F	Cl	nBu	Ph-4-OMe	68-69	
	471	CF ₃	H	H	F	Cl	tBu	Ph-4-OMe		
	472	CF ₃	H	H	CF ₃	Cl	CH ₂ CH=CH ₂	Ph		
	473	CF ₃	H	H	CF ₃	Cl	CH ₂ CH=CH ₂	Ph-4-OMe		
55	474	CF ₃	H	H	CF ₃	Cl	CH ₂ CH=CH ₂	Ph-2-F-5-Me	102-104	
	475	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₃	Ph		
	476	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₃	Ph-4-OMe		

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
477	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₃	Ph-2-F-5-Me	65-67
478	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₂ Cl	Ph	
479	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₂ Cl	Ph-4-OMe	
480	CF ₃	H	H	CF ₃	Cl	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	
481	CF ₃	H	H	CF ₃	Cl	CH ₃	Ph	
482	CF ₃	H	H	CF ₃	Cl	CH ₃	Ph-4-OMe	
483	CF ₃	H	H	CF ₃	Cl	CH ₃	Ph-2-F-5-Me	
484	CF ₃	H	H	CF ₃	Cl	CH ₂ OMe	Ph-4-OMe	
485	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	3-methyl-- pyrazol-1-yl	
486	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	4-methyl-- pyrazol-1-yl	
487	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	pyrazol-1-yl	
488	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	3-methyl-- 2-thienyl	
489	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	4-methyl-- 2-thienyl	
490	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	5-methyl-- 2-thienyl	
491	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	2-thienyl	
492	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	4-methyl-- 3-thienyl	
493	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	5-methyl-- 3-thienyl	
494	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	3-thienyl	
495	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2,4-F ₂	
496	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2-F	
497	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2-F-3-Me	
498	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2-F-4-OMe	
499	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	
500	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-2-F-5-Me	
501	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-3,5-Me ₂	
502	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-3-Me	
503	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-3-Me-4-F	
504	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-3-Me-4-OMe	
505	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-4-F	
506	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-4-Me	
507	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph-4-OMe	
508	CF ₃	H	H	CF ₃	Cl	CH ₂ cPr	Ph	
509	CF ₃	H	H	CF ₃	Cl	CH ₂ C≡CH	Ph	
510	CF ₃	H	H	CF ₃	Cl	CH ₂ C≡CH	Ph-4-OMe	
511	CF ₃	H	H	CF ₃	Cl	CH ₂ C≡CH	Ph-2-F-5-Me	
512	CF ₃	H	H	CF ₃	Cl	CH ₂ C≡Cl	Ph	
513	CF ₃	H	H	CF ₃	Cl	iPr	Ph	
514	CF ₃	H	H	CF ₃	Cl	iPr	Ph-4-OMe	
515	CF ₃	H	H	CF ₃	Cl	iPr	Ph-2-F-5-Me	

Table 3. (continued)

(r ¹ , r ² =H)									
	No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
5	516	CF ₃	H	H	CF ₃	Cl	nPr	Ph	
	517	CF ₃	H	H	CF ₃	Cl	nPr	Ph-4-OMe	
10	518	CF ₃	H	H	CF ₃	Cl	nPr	Ph-2-F-5-Me	
	519	CF ₃	H	H	CF ₃	Cl	nBu	Ph-4-OMe	
	520	CF ₃	H	H	CF ₃	Cl	tBu	Ph-4-OMe	
	521	CF ₃	H	H	CF ₃	F	CH ₂ CH=CH ₂	Ph	
	522	CF ₃	H	H	CF ₃	F	CH ₂ CH=CH ₂	Ph-4-OMe	
15	523	CF ₃	H	H	CF ₃	F	CH ₂ CH=CH ₂	Ph-2-F-5-Me	
	524	CF ₃	H	H	CF ₃	F	CH ₂ CH ₃	Ph	
	525	CF ₃	H	H	CF ₃	F	CH ₂ CH ₃	Ph-4-OMe	
	526	CF ₃	H	H	CF ₃	F	CH ₂ CH ₃	Ph-2-F-5-Me	
20	527	CF ₃	H	H	CF ₃	F	CH ₂ CH ₂ Cl	Ph	
	528	CF ₃	H	H	CF ₃	F	CH ₂ CH ₂ Cl	Ph-4-OMe	
	529	CF ₃	H	H	CF ₃	F	CH ₂ CH ₂ Cl	Ph-2-F-5-Me	
	530	CF ₃	H	H	CF ₃	F	CH ₃	Ph	
	531	CF ₃	H	H	CF ₃	F	CH ₃	Ph-4-OMe	
25	532	CF ₃	H	H	CF ₃	F	CH ₃	Ph-2-F-5-Me	
	533	CF ₃	H	H	CF ₃	F	CH ₂ OMe	Ph-4-OMe	
	534	CF ₃	H	H	CF ₃	F	CH ₂ cPr	3-methyl-- 2-thienyl	
30	535	CF ₃	H	H	CF ₃	F	CH ₂ cPr	4-methyl-- 2-thienyl	
	536	CF ₃	H	H	CF ₃	F	CH ₂ cPr	5-methyl-- 2-thienyl	
	537	CF ₃	H	H	CF ₃	F	CH ₂ cPr	2-thienyl	
35	538	CF ₃	H	H	CF ₃	F	CH ₂ cPr	4-methyl-- 3-thienyl	
	539	CF ₃	H	H	CF ₃	F	CH ₂ cPr	5-methyl-- 3-thienyl	
	540	CF ₃	H	H	CF ₃	F	CH ₂ cPr	3-thienyl	
40	541	CF ₃	H	H	CF ₃	F	CH ₂ cPr	4-methyl-- 3-thienyl	
	542	CF ₃	H	H	CF ₃	F	CH ₂ cPr	5-methyl-- 3-thienyl	
45	543	CF ₃	H	H	CF ₃	F	CH ₂ cPr	3-thienyl	
	544	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2,4-F ₂	
	545	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2-F	
	546	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2-F-3-Me	
	547	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2-F-4-OMe	
50	548	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2-F-4-OMe-- 5-Me	
	549	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-2-F-5-Me	
	550	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-3,5-Me ₂	
	551	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-3-Me	
55	552	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-3-Me-4-F	
	553	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-3-Me-4-OMe	
	554	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-4-F	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
555	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-4-Me	
556	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph-4-OMe	
557	CF ₃	H	H	CF ₃	F	CH ₂ cPr	Ph	
558	CF ₃	H	H	CF ₃	F	CH ₂ C≡CH	Ph	
559	CF ₃	H	H	CF ₃	F	CH ₂ C≡CH	Ph-4-OMe	
560	CF ₃	H	H	CF ₃	F	CH ₂ C≡CH	Ph-2-F-5-Me	
561	CF ₃	H	H	CF ₃	F	CH ₂ C≡Cl	Ph-4-OMe	
562	CF ₃	H	H	CF ₃	F	iPr	Ph	
563	CF ₃	H	H	CF ₃	F	iPr	Ph-4-OMe	
564	CF ₃	H	H	CF ₃	F	iPr	Ph-2-F-5-Me	
565	CF ₃	H	H	CF ₃	F	nPr	Ph	
566	CF ₃	H	H	CF ₃	F	nPr	Ph-4-OMe	
567	CF ₃	H	H	CF ₃	F	nPr	Ph-2-F-5-Me	
568	CF ₃	H	H	CF ₃	F	nBu	Ph-4-OMe	
569	CF ₃	H	H	CF ₃	F	tBu	Ph-4-OMe	
570	CF ₃	F	H	H	Cl	CH ₂ cPr	Ph	
571	CF ₃	F	H	H	Cl	CH ₂ cPr	Ph-4-OMe	
572	CF ₃	F	H	H	Cl	CH ₂ cPr	Ph-2-F-5-Me	
573	CF ₃	F	H	H	F	CH ₂ cPr	Ph	
574	CF ₃	F	H	H	F	CH ₂ cPr	Ph-4-OMe	
575	CF ₃	F	H	H	F	CH ₂ cPr	Ph-2-F-5-Me	
576	CF ₃	CF ₃	H	H	Cl	CH ₂ cPr	Ph	
577	CF ₃	CF ₃	H	H	Cl	CH ₂ cPr	Ph-4-OMe	
578	CF ₃	CF ₃	H	H	Cl	CH ₂ cPr	Ph-2-F-5-Me	
579	CF ₃	CF ₃	H	H	F	CH ₂ cPr	Ph	
580	CF ₃	CF ₃	H	H	F	CH ₂ cPr	Ph-4-OMe	
581	CF ₃	CF ₃	H	H	F	CH ₂ cPr	Ph-2-F-5-Me	
582	CF ₃	Cl	H	H	CF ₃	CH ₂ cPr	Ph	
583	CF ₃	Cl	H	H	CF ₃	CH ₂ cPr	Ph-4-OMe	
584	CF ₃	Cl	H	H	CF ₃	CH ₂ cPr	Ph-2-F-5-Me	
585	CF ₃	F	H	H	CF ₃	CH ₂ cPr	Ph	
586	CF ₃	F	H	H	CF ₃	CH ₂ cPr	Ph-4-OMe	
587	CF ₃	F	H	H	CF ₃	CH ₂ cPr	Ph-2-F-5-Me	
588	CF ₃	CF ₃	H	H	CF ₃	CH ₂ cPr	Ph	
589	CF ₃	CF ₃	H	H	CF ₃	CH ₂ cPr	Ph-4-OMe	
590	CF ₃	CF ₃	H	H	CF ₃	CH ₂ cPr	Ph-2-F-5-Me	
591	CF ₃	H	H	H	CH ₃	CH ₂ cPr	Ph	
592	CF ₃	H	H	H	CH ₃	CH ₂ cPr	Ph-4-OMe	
593	CF ₃	H	H	H	CH ₃	CH ₂ cPr	Ph-2-F-5-Me	
594	CF ₃	H	H	F	CH ₃	CH ₂ cPr	Ph	
595	CF ₃	H	H	F	CH ₃	CH ₂ cPr	Ph-4-OMe	
596	CF ₃	H	H	F	CH ₃	CH ₂ cPr	Ph-2-F-5-Me	
597	CF ₃	H	H	Cl	CH ₃	CH ₂ cPr	Ph	
598	CF ₃	H	H	Cl	CH ₃	CH ₂ cPr	Ph-4-OMe	
599	CF ₃	H	H	Cl	CH ₃	CH ₂ cPr	Ph-2-F-5-Me	
600	CF ₃	F	H	F	F	CH ₂ cPr	Ph	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
5								
601	CF ₃	F	H	F	F	CH ₂ cPr	Ph-4-OMe	
602	CF ₃	F	H	F	F	CH ₂ cPr	Ph-2-F-5-Me	
10	603	CF ₃	F	H	F	Cl	CH ₂ cPr	Ph
604	CF ₃	F	H	F	Cl	CH ₂ cPr	Ph-4-OMe	
605	CF ₃	F	H	F	Cl	CH ₂ cPr	Ph-2-F-5-Me	
606	CF ₃	F	H	Cl	F	CH ₂ cPr	Ph	
607	CF ₃	F	H	Cl	F	CH ₂ cPr	Ph-4-OMe	
15	608	CF ₃	F	H	Cl	F	CH ₂ cPr	Ph-2-F-5-Me
609	CF ₃	F	H	Cl	Cl	CH ₂ cPr	Ph	
610	CF ₃	F	H	Cl	Cl	CH ₂ cPr	Ph-4-OMe	
611	CF ₃	F	H	Cl	Cl	CH ₂ cPr	Ph-2-F-5-Me	
20	612	CF ₃	Cl	H	F	F	CH ₂ cPr	Ph
613	CF ₃	Cl	H	F	F	CH ₂ cPr	Ph-4-OMe	
614	CF ₃	Cl	H	F	F	CH ₂ cPr	Ph-2-F-5-Me	
615	CF ₃	Cl	H	F	Cl	CH ₂ cPr	Ph	
25	616	CF ₃	Cl	H	F	Cl	CH ₂ cPr	Ph-4-OMe
617	CF ₃	Cl	H	F	Cl	CH ₂ cPr	Ph-2-F-5-Me	
618	CF ₃	Cl	H	Cl	F	CH ₂ cPr	Ph	
619	CF ₃	Cl	H	Cl	F	CH ₂ cPr	Ph-4-OMe	
620	CF ₃	Cl	H	Cl	F	CH ₂ cPr	Ph-2-F-5-Me	
30	621	CF ₃	Cl	H	Cl	Cl	CH ₂ cPr	Ph
622	CF ₃	Cl	H	Cl	Cl	CH ₂ cPr	Ph-4-OMe	
623	CF ₃	Cl	H	Cl	Cl	CH ₂ cPr	Ph-2-F-5-Me	
624	CF ₃	Cl	H	Cl	CF ₃	CH ₂ cPr	Ph	
625	CF ₃	Cl	H	F	CF ₃	CH ₂ cPr	Ph	
35	626	CF ₃	F	H	Cl	CF ₃	CH ₂ cPr	Ph
627	CF ₃	F	H	F	CF ₃	CH ₂ cPr	Ph	
628	CF ₃	CF ₃	H	Cl	Cl	CH ₂ cPr	Ph	
629	CF ₃	CF ₃	H	Cl	P	CH ₂ cPr	Ph	
40	630	CF ₃	CF ₃	H	F	Cl	CH ₂ cPr	Ph
631	CF ₃	CF ₃	H	F	F	CH ₂ cPr	Ph	
632	CF ₃	Cl	H	CF ₃	Cl	CH ₂ cPr	Ph	
633	CF ₃	F	H	CF ₃	Cl	CH ₂ cPr	Ph	
634	CF ₃	Cl	H	CF ₃	F	CH ₂ cPr	Ph	
45	635	CF ₃	F	H	CF ₃	F	CH ₂ cPr	Ph
636	CF ₃	CF ₃	H	Cl	CF ₃	CH ₂ cPr	Ph	
637	CF ₃	CF ₃	H	F	CF ₃	CH ₂ cPr	Ph	
638	CF ₃	CF ₃	H	CF ₃	CF ₃	CH ₂ cPr	Ph	
50	639	CF ₃	F	F	F	CH ₂ cPr	Ph	
640	CF ₃	F	F	F	Cl	CH ₂ cPr	Ph	
641	CF ₃	F	F	Cl	F	CH ₂ cPr	Ph	
642	CF ₃	F	F	Cl	Cl	CH ₂ cPr	Ph	
643	CF ₃	Cl	H	Cl	CF ₃	CH ₂ cPr	Ph-4-OMe	
55	644	CF ₃	Cl	H	F	CF ₃	CH ₂ cPr	Ph-4-OMe
645	CF ₃	F	H	Cl	CF ₃	CH ₂ cPr	Ph-4-OMe	
646	CF ₃	F	H	F	CF ₃	CH ₂ cPr	Ph-4-OMe	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
5								
647	CF ₃	CF ₃	H	Cl	Cl	CH ₂ cPr	Ph-4-OMe	
648	CF ₃	CF ₃	H	Cl	F	CH ₂ cPr	Ph-4-OMe	
10	649	CF ₃	CF ₃	H	F	Cl	CH ₂ cPr	Ph-4-OMe
650	CF ₃	CF ₃	H	F	F	CH ₂ cPr	Ph-4-OMe	
651	CF ₃	Cl	H	CF ₃	Cl	CH ₂ cPr	Ph-4-OMe	
652	CF ₃	F	H	CF ₃	Cl	CH ₂ cPr	Ph-4-OMe	
653	CF ₃	Cl	H	CF ₃	F	CH ₂ cPr	Ph-4-OMe	
15	654	CF ₃	F	H	CF ₃	F	CH ₂ cPr	Ph-4-OMe
655	CF ₃	CF ₃	H	Cl	CF ₃	CH ₂ cPr	Ph-4-OMe	
656	CF ₃	CF ₃	H	F	CF ₃	CH ₂ cPr	Ph-4-OMe	
657	CF ₃	CF ₃	H	CF ₃	CF ₃	CH ₂ cPr	Ph-4-OMe	
20	658	CF ₃	F	F	F	F	CH ₂ cPr	Ph-4-OMe
659	CF ₃	F	F	F	Cl	CH ₂ cPr	Ph-4-OMe	
660	CF ₃	F	F	Cl	F	CH ₂ cPr	Ph-4-OMe	
661	CF ₃	F	F	Cl	Cl	CH ₂ cPr	Ph-4-OMe	
25	662	CF ₃	H	H	H	F	CH ₂ -1-F-cPr	Ph
663	CF ₃	H	H	H	F	CH ₂ -2-F-cPr	Ph	
664	CF ₃	H	H	H	F	CH ₂ -2-F ₂ -cPr	Ph	
665	CF ₃	H	H	H	F	CH ₂ -1-F-cPr	Ph-4-OMe	
666	CF ₃	H	H	H	F	CH ₂ -2-F-cPr	Ph-4-OMe	
30	667	CF ₃	H	H	H	F	CH ₂ -2-F ₂ -cPr	Ph-4-OMe
668	CF ₃	H	H	Cl	Cl	CH ₂ -1-F-cPr	Ph	
669	CF ₃	H	H	Cl	Cl	CH ₂ -2-F-cPr	Ph	
670	CF ₃	H	H	Cl	Cl	CH ₂ -2-F ₂ -cPr	Ph	
671	CF ₃	H	H	Cl	Cl	CH ₂ -1-F-cPr	Ph-4-OMe	
35	672	CF ₃	H	H	Cl	Cl	CH ₂ -2-F-cPr	Ph-4-OMe
673	CF ₃	H	H	Cl	Cl	CH ₂ -2-F ₂ -cPr	Ph-4-OMe	
674	CF ₃	H	H	Cl	F	CH ₂ -1-F-cPr	Ph	
675	CF ₃	H	H	Cl	F	CH ₂ -2-F-cPr	Ph	
40	676	CF ₃	H	H	Cl	F	CH ₂ -2-F ₂ -cPr	Ph
677	CF ₃	H	H	Cl	F	CH ₂ -1-F-cPr	Ph-4-OMe	
678	CF ₃	H	H	Cl	F	CH ₂ -2-F-cPr	Ph-4-OMe	
679	CF ₃	H	H	Cl	F	CH ₂ -2-F ₂ -cPr	Ph-4-OMe	
680	CF ₃	H	H	F	Cl	CH ₂ -1-F-cPr	Ph	
45	681	CF ₃	H	H	F	Cl	CH ₂ -2-F-cPr	Ph
682	CF ₃	H	H	F	Cl	CH ₂ -2-F ₂ -cPr	Ph	
683	CF ₃	H	H	F	Cl	CH ₂ -1-F-cPr	Ph-4-OMe	
684	CF ₃	H	H	F	Cl	CH ₂ -2-F-cPr	Ph-4-OMe	
50	685	CF ₃	H	H	F	Cl	CH ₂ -2-F ₂ -cPr	Ph-4-OMe
686	CF ₃	H	H	F	F	CH ₂ -1-F-cPr	Ph	
687	CF ₃	H	H	F	F	CH ₂ -2-F-cPr	Ph	
688	CF ₃	H	H	F	F	CH ₂ -2-F ₂ -cPr	Ph	
689	CF ₃	H	H	F	F	CH ₂ -1-F-cPr	Ph-4-OMe	
55	690	CF ₃	H	H	F	F	CH ₂ -2-F-cPr	Ph-4-OMe
691	CF ₃	H	H	F	F	CH ₂ -2-F ₂ -cPr	Ph-4-OMe	
692	CF ₃	H	H	H	Br	CH ₂ CH ₃	Ph	

Table 3. (continued)

(r ¹ , r ² =H)									
	No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
5	693	CF ₃	H	H	H	Br	CH ₂ CH ₃	Ph-4-OMe	n ^{23.5} 1.5343 n ^{29.5} _D 1.5330
10	694	CF ₃	H	H	H	Br	CH ₂ -cPr	Ph	
	695	CF ₃	H	H	H	Br	CH ₂ -cPr	Ph-4-OMe	
	696	CF ₃	H	H	H	Br	CH ₂ -cPr	Ph-2-F-5-Me	
	697	CF ₃	H	H	F	Br	CH ₂ CH ₃	Ph	
	698	CF ₃	H	H	F	Br	CH ₂ CH ₃	Ph-4-OMe	
15	699	CF ₃	H	H	F	Br	CH ₂ -cPr	Ph	114-115 n ^{23.1} _D 1.5304 81-82
	700	CF ₃	H	H	F	Br	CH ₂ -cPr	Ph-4-OMe	
	701	CF ₃	H	H	F	Br	CH ₂ -cPr	Ph-4-F	
	702	CF ₃	H	H	Cl	Br	CH ₂ CH ₃	Ph	
	703	CF ₃	H	H	Cl	Br	CH ₂ CH ₃	Ph-4-OMe	
20	704	CF ₃	H	H	Cl	Br	CH ₂ -cPr	Ph	
	705	CF ₃	H	H	Cl	Br	CH ₂ -cPr	Ph-4-OMe	
	706	CF ₃	H	H	Cl	Br	CH ₂ -cPr	Ph-2-F-5-Me	
	707	CF ₃	H	H	Br	F	CH ₂ CH ₃	Ph	
	708	CF ₃	H	H	Br	F	CH ₂ CH ₃	Ph-4-OMe	
25	709	CF ₃	H	H	Br	F	CH ₂ -cPr	Ph	
	710	CF ₃	H	H	Br	F	CH ₂ -cPr	Ph-4-OMe	
	711	CF ₃	H	H	Br	F	CH ₂ -cPr	Ph-2-F-5-Me	
	712	CF ₃	H	H	Br	Cl	CH ₂ CH ₃	Ph	
	713	CF ₃	H	H	Br	Cl	CH ₂ CH ₃	Ph-4-OMe	
30	714	CF ₃	H	H	Br	Cl	CH ₂ -cPr	Ph	
	715	CF ₃	H	H	Br	Cl	CH ₂ -cPr	Ph-4-OMe	
	716	CF ₃	H	H	Br	Cl	CH ₂ -cPr	Ph-2-F-5-Me	
	717	CF ₃	H	H	F	H	CH ₂ CH ₃	Ph	
	718	CF ₃	H	H	F	H	CH ₂ CH ₃	Ph-4-OMe	
35	719	CF ₃	H	H	F	H	CH ₂ -cPr	Ph	91-92 109-110
	720	CF ₃	H	H	F	H	CH ₂ -cPr	Ph-4-OMe	
	721	CF ₃	H	H	F	H	CH ₂ -cPr	Ph-2-F-5-Me	
	722	CF ₃	H	H	Cl	H	CH ₂ CH ₃	Ph	
	723	CF ₃	H	H	F	H	CH ₂ -cPr	Ph-2-F	
40	724	CF ₃	H	H	Cl	H	CH ₂ -cPr	Ph	94-95 99-100 110-111
	725	CF ₃	H	H	F	H	CH ₂ -cPr	Ph-4-F	
	726	CF ₃	H	H	Cl	H	CH ₂ -cPr	Ph-2-F-5-Me	
	727	CF ₃	H	H	H	I	CH ₂ CH ₃	Ph	
	728	CF ₃	H	H	H	I	CH ₂ CH ₃	Ph-4-OMe	
45	729	CF ₃	H	H	H	I	CH ₂ -cPr	Ph	
	730	CF ₃	H	H	H	I	CH ₂ -cPr	Ph-4-OMe	
	731	CF ₃	H	H	H	I	CH ₂ -cPr	Ph-2-F-5-Me	
	732	CF ₃	H	H	Cl	Cl	CH ₂ -cHxe-3	Ph	
	733	CF ₃	H	H	Cl	Cl	CH ₂ -cHex-- 3,4-Br ₂	Ph	
50	734	CP ₃	H	H	Cl	Cl	CH ₂ -cHex	Ph	106-107 124-125 106-109
	735	C ₂ F ₅	H	H	F	F	CH ₂ -cPr	Ph	
	736	C ₂ F ₅	H	H	F	F	CH ₂ -cPr	Ph-4-OMe	
	737	C ₂ F ₅	H	H	F	F	CH ₂ -cPr	Ph-2-F-5-Me	
	55								

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
738	C ₂ F ₅	H	H	F	F	CH ₂ CH ₂ Cl	Ph	
739	C ₂ F ₅	H	H	F	F	CH ₂ CH ₃	Ph	
740	C ₂ F ₅	H	H	F	F	CH ₂ C≡CH	Ph	
741	C ₂ F ₅	H	H	Cl	Cl	CH ₂ -cPr	Ph	
742	C ₂ F ₅	H	H	Cl	Cl	CH ₂ -cPr	Ph-4-OMe	
743	C ₂ F ₅	H	H	Cl	Cl	CH ₂ -cPr	Ph-2-F-5-Me	
744	C ₂ F ₅	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph	
745	C ₂ F ₅	H	H	Cl	Cl	CH ₂ CH ₃	Ph	
746	C ₂ F ₅	H	H	Cl	Cl	CH ₂ C≡CH	Ph	
747	C ₂ F ₅	H	H	F	Cl	CH ₂ -cPr	Ph	
748	C ₂ F ₅	H	H	F	Cl	CH ₂ -cPr	Ph-4-OMe	
749	C ₂ F ₅	H	H	F	Cl	CH ₂ -cPr	Ph-2-F-5-Me	
750	C ₂ F ₅	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph	
751	C ₂ F ₅	H	H	F	Cl	CH ₂ CH ₃	Ph	
752	C ₂ F ₅	H	H	F	Cl	CH ₂ C≡CH	Ph	
753	C ₂ F ₅	H	H	Cl	F	CH ₂ -cPr	Ph	
754	C ₂ F ₅	H	H	Cl	F	CH ₂ -cPr	Ph-4-OMe	
755	C ₂ F ₅	H	H	Cl	F	CH ₂ -cPr	Ph-2-P-5-Me	
756	C ₂ F ₅	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph	
757	C ₂ F ₅	H	H	Cl	F	CH ₂ CH ₃	Ph	
758	C ₂ F ₅	H	H	Cl	F	CH ₂ C≡CH	Ph	
759	CCl ₃	H	H	F	F	CH ₂ -cPr	Ph	
760	CCl ₃	H	H	F	F	CH ₂ -cPr	Ph-4-OMe	
761	CCl ₃	H	H	F	F	CH ₂ -cPr	Ph-2-F-5-Me	
762	CCl ₃	H	H	F	F	CH ₂ CH ₂ Cl	Ph	
763	CCl ₃	H	H	F	F	CH ₂ CH ₃	Ph	
764	CCl ₃	H	H	F	F	CH ₂ C≡CH	Ph	
765	CCl ₃	H	H	Cl	Cl	CH ₂ -cPr	Ph	
766	CCl ₃	H	H	Cl	Cl	CH ₂ -cPr	Ph-4-OMe	
767	CCl ₃	H	H	Cl	Cl	CH ₂ -cPr	Ph-2-F-5-Me	
768	CCl ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph	
769	CCl ₃	H	H	Cl	Cl	CH ₂ CH ₃	Ph	
770	CCl ₃	H	H	Cl	Cl	CH ₂ C≡CH	Ph	
771	CCl ₃	H	H	F	Cl	CH ₂ -cPr	Ph	
772	CCl ₃	H	H	F	Cl	CH ₂ -cPr	Ph-4-OMe	
773	CCl ₃	H	H	F	Cl	CH ₂ -cPr	Ph-2-F-5-Me	
774	CCl ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph	
775	CCl ₃	H	H	F	Cl	CH ₂ CH ₃	Ph	
776	CCl ₃	H	H	F	Cl	CH ₂ C≡CH	Ph	
777	CCl ₃	H	H	Cl	F	CH ₂ -cPr	Ph	
778	CCl ₃	H	H	Cl	F	CH ₂ -cPr	Ph-4-OMe	
779	CCl ₃	H	H	Cl	F	CH ₂ -cPr	Ph-2-F-5-Me	
780	CCl ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph	
781	CCl ₃	H	H	Cl	F	CH ₂ CH ₃	Ph	
782	CCl ₃	H	H	Cl	F	CH ₂ C≡CH	Ph	
783	CHF ₂	H	H	F	F	CH ₂ cPr	Ph	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
784	CHF ₂	H	H	F	F	CH ₂ cPr	Ph-4-OMe	
785	CHF ₂	H	H	F	F	CH ₂ cPr	Ph-2-F-5-Me	
786	CHF ₂	H	H	F	F	CH ₂ CH ₂ Cl	Ph	
787	CHF ₂	H	H	F	F	CH ₂ CH ₃	Ph	
788	CHF ₂	H	H	F	F	CH ₂ C≡CH	Ph	
789	CHF ₂	H	H	Cl	Cl	CH ₂ cPr	Ph	
790	CHF ₂	H	H	Cl	Cl	CH ₂ cPr	Ph-4-OMe	
791	CHF ₂	H	H	Cl	Cl	CH ₂ cPr	Ph-2-F-5-Me	
792	CHF ₂	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Ph	
793	CHF ₂	H	H	Cl	Cl	CH ₂ CH ₃	Ph	
794	CHF ₂	H	H	Cl	Cl	CH ₂ C≡CH	Ph	
795	CHF ₂	H	H	F	Cl	CH ₂ cPr	Ph	
796	CHF ₂	H	H	F	Cl	CH ₂ cPr	Ph-4-OMe	
797	CHF ₂	H	H	F	Cl	CH ₂ cPr	Ph-2-F-5-Me	
798	CHF ₂	H	H	F	Cl	CH ₂ CH ₂ Cl	Ph	
799	CHF ₂	H	H	F	Cl	CH ₂ CH ₃	Ph	
800	CHF ₂	H	H	F	Cl	CH ₂ C≡CH	Ph	
801	CHF ₂	H	H	Cl	F	CH ₂ cPr	Ph	
802	CHF ₂	H	H	Cl	F	CH ₂ cPr	Ph-4-OMe	
803	CHF ₂	H	H	Cl	F	CH ₂ cPr	Ph-2-F-5-Me	
804	CHF ₂	H	H	Cl	F	CH ₂ CH ₂ Cl	Ph	
805	CHF ₂	H	H	Cl	F	CH ₂ CH ₃	Ph	
806	CHF ₂	H	H	Cl	F	CH ₂ C≡CH	Ph	
807	CF ₃	H	H	H	F	CH ₂ CF ₃	Ph	
808	CF ₃	H	H	H	F	CH ₂ Cl	Ph	
809	CF ₃	H	H	H	F	CH ₂ CH ₂ Br	Ph	
810	CF ₃	H	H	H	F	CH ₂ OE _t	Ph	
811	CF ₃	H	H	H	F	CH ₂ CH ₂ OMe	Ph	
812	CF ₃	H	H	H	F	CH ₂ cPent	Ph	
813	CF ₃	H	H	H	F	CH ₂ cHex	Ph	
814	CF ₃	H	H	H	F	CH ₂ cPr-2,2--Cl ₂	Ph	
815	CF ₃	H	H	H	F	CH ₂ cPr-2,2--Br ₂	Ph	
816	CF ₃	H	H	H	F	CH ₂ SMe	Ph	n _D ^{23.2} 1.5469
817	CF ₃	H	H	H	F	CH ₂ SOMe	Ph	n _D ^{20.0} 1.5462
818	CF ₃	H	H	H	F	CH ₂ SO ₂ Me	Ph	116-117
819	CF ₃	H	H	H	F	CH ₂ CO ₂ Me	Ph	n _D ^{22.8} 1.5194
820	CF ₃	H	H	H	F	CH ₂ CO ₂ Et	Ph	n _D ^{22.8} 1.5167
821	CF ₃	H	H	H	F	CH ₂ CH ₂ CO ₂ Me	Ph	
822	CF ₃	H	H	H	F	CH ₂ NMe ₂	Ph	
823	CF ₃	H	H	H	F	CH ₂ NHMe	Ph	
824	CF ₃	H	H	H	F	CH ₂ CH ₂ NMe ₂	Ph	
825	CF ₃	H	H	H	F	CH ₂ CONH ₂	Ph	n _D ^{24.5} 1.5380
826	CF ₃	H	H	H	F	CH ₂ CONHMe	Ph	
827	CF ₃	H	H	H	F	CH ₂ CONMe ₂	Ph	n _D ^{23.3} 1.5302
828	CF ₃	H	H	H	F	CH ₂ CH=CHCF ₃	Ph	
829	CF ₃	H	H	H	F	CH ₂ CH=CF ₂	Ph	

Table 3. (continued)

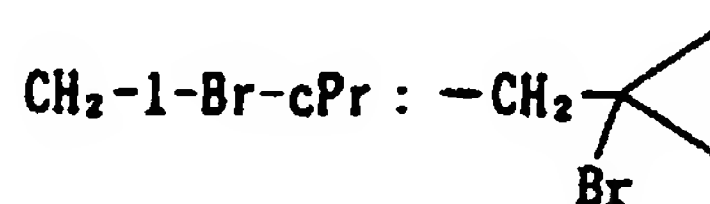
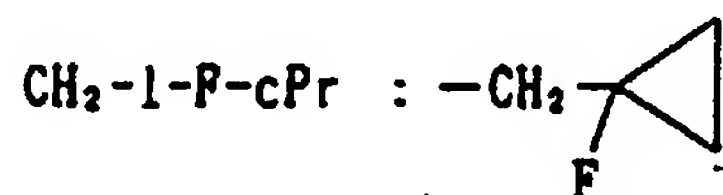
(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
830	CF ₃	H	H	H	F	CH ₂ C(Br)=CH ₂	Ph	n _D ^{24.0} 1.5066
831	CF ₃	H	H	H	F	CH ₂ C≡CCH ₃	Ph	
832	CF ₃	H	H	H	F	CH ₂ CH ₂ C≡CH	Ph	
833	CF ₃	H	H	H	F	CH ₂ C≡CCF ₃	Ph	
834	CF ₃	H	H	F	F	CH ₂ CF ₃	Ph	
835	CF ₃	H	H	F	F	CH ₂ Cl	Ph	
836	CF ₃	H	H	F	F	CH ₂ CH ₂ Br	Ph	
837	CF ₃	H	H	F	F	CH ₂ OEt	Ph	
838	CF ₃	H	H	F	F	CH ₂ CH ₂ OMe	Ph	
839	CF ₃	H	H	F	F	CH ₂ cPent	Ph	
840	CF ₃	H	H	F	F	CH ₂ cHex	Ph	
841	CF ₃	H	H	F	F	CH ₂ -2,2-Cl ₂ -- cPr	Ph	
842	CF ₃	H	H	F	F	CH ₂ -2,2-Br ₂ -- cPr	Ph	
843	CF ₃	H	H	F	F	CH ₂ SMe	Ph	
844	CF ₃	H	H	F	F	CH ₂ SOMe	Ph	
845	CF ₃	H	H	F	F	CH ₂ SO ₂ Me	Ph	
846	CF ₃	H	H	F	F	CH ₂ CO ₂ Me	Ph	
847	CF ₃	H	H	F	F	CH ₂ CO ₂ Et	Ph	
848	CF ₃	H	H	F	F	CH ₂ CH ₂ CO ₂ Me	Ph	
849	CF ₃	H	H	F	F	CH ₂ NMe ₂	Ph	
850	CF ₃	H	H	F	F	CH ₂ NHMe	Ph	
851	CF ₃	H	H	F	F	CH ₂ CH ₂ NMe ₂	Ph	82-83 89-90
852	CF ₃	H	H	F	F	CH ₂ CONH ₂	Ph	
853	CF ₃	H	H	F	F	CH ₂ CONHMe	Ph	
854	CF ₃	H	H	F	F	CH ₂ CONMe ₂	Ph	
855	CF ₃	H	H	F	F	CH ₂ CH=CHCF ₃	Ph	
856	CF ₃	H	H	F	F	CH ₂ CH=CF ₂	Ph	
857	CF ₃	H	H	F	F	CH ₂ C(Br)=CH ₂	Ph	
858	CF ₃	H	H	F	F	CH ₂ C≡CCH ₃	Ph	
859	CF ₃	H	H	F	F	CH ₂ CH ₂ C≡CH	Ph	
860	CF ₃	H	H	F	F	CH ₂ C≡CCF ₃	Ph	
861	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-Cl	65-67 77-78
862	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-Br	
863	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-Cl-4-F	
864	CF ₃	H	H	H	F	CH ₂ cPr	Ph-2-Br-4-Me	
865	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-Et	
866	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OEt	
867	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OiPr	
868	CF ₃	H	H	H	F	CH ₂ cPr	Ph- 4-OCH ₂ CH=CH ₂	
869	CF ₃	H	H	H	F	CH ₂ cPr	Ph- 4-OCH ₂ C≡CH	
870	CF ₃	H	H	H	F	CH ₂ cPr	Ph-3-CF ₃	77-78
871	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-CF ₃	124-125
872	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-CF ₂ CF ₂ H	96-97
873	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OCF ₃	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
874	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OCF ₂ H	n ^{22.0} _D 1.5349
875	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OCF ₂ CF ₃	
876	CF ₃	H	H	H	F	CH ₂ cPr	Ph-4-OCF ₂ CF ₂ H	
877	CF ₃	H	H	H	F	CH ₂ cPr	1-Me-2-Pyrrolyl	
878	CF ₃	H	H	H	F	CH ₂ cPr	1-Me-3-Pyrrolyl	
879	CF ₃	H	H	H	F	CH ₂ cPr	2-Pyrrolyl	
880	CF ₃	H	H	H	F	CH ₂ cPr	3-Pyrrolyl	
881	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2-Cl	
882	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-Br	
883	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2-Cl-4-F	
884	CF ₃	H	H	F	F	CH ₂ cPr	Ph-2-Br-4-CH ₃	
885	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-Et	
886	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OEt	
887	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OiPr	
888	CF ₃	H	H	F	F	CH ₂ cPr	Ph- 4-OCH ₂ CH=CH ₂	
889	CF ₃	H	H	F	F	CH ₂ cPr	Ph- 4-OCH ₂ C≡CH	
890	CF ₃	H	H	F	F	CH ₂ cPr	Ph-3-CF ₃	
891	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-CF ₃	
892	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-CF ₂ CF ₂ H	
893	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OCF ₃	
894	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OCF ₂ H	
895	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OCF ₂ CF ₃	
896	CF ₃	H	H	F	F	CH ₂ cPr	Ph-4-OCF ₂ CF ₂ H	
897	CF ₃	H	H	F	F	CH ₂ cPr	1-Me-2-Pyrrolyl	
898	CF ₃	H	H	F	F	CH ₂ cPr	1-Me-3-Pyrrolyl	
899	CF ₃	H	H	F	F	CH ₂ cPr	2-Pyrrolyl	
900	CF ₃	H	H	F	F	CH ₂ cPr	3-Pyrrolyl	
901	CF ₃	H	H	F	Br	CH ₂ cPr	Ph	
902	CF ₃	H	H	H	Et	CH ₂ cPr	Ph	
903	CF ₃	H	H	H	nPr	CH ₂ cPr	Ph	
904	CF ₃	H	H	H	nBu	CH ₂ cPr	Ph	
905	CF ₃	H	H	H	tBu	CH ₂ cPr	Ph	
906	CF ₃	H	H	H	C ₂ F ₅	CH ₂ cPr	Ph	
907	CF ₃	H	H	H	OEt	CH ₂ cPr	Ph	
908	CF ₃	H	H	H	OnPr	CH ₂ cPr	Ph	
909	CF ₃	H	H	H	OiPr	CH ₂ cPr	Ph	
910	CF ₃	H	H	H	OtBu	CH ₂ cPr	Ph	
911	CF ₃	H	H	OEt	F	CH ₂ cPr	Ph	n ^{23.3} _D 1.5450 144-146
912	CF ₃	H	H	OnPr	F	CH ₂ cPr	Ph	
913	CF ₃	H	H	OiPr	F	CH ₂ cPr	Ph	
914	CF ₃	H	H	OtBu	F	CH ₂ cPr	Ph	
915	CF ₃	H	H	H	SOMe	CH ₂ cPr	Ph	
916	CF ₃	H	H	H	SO ₂ Me	CH ₂ cPr	Ph	
917	CF ₃	H	H	H	SEt	CH ₂ cPr	Ph	

Table 3. (continued)

(r ¹ , r ² = H)								
No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	R ²	Physical const. mp (°C) refractive index
918	CF ₃	H	H	H	S-iPr	CH ₂ cPr	Ph	113-120
919	CF ₃	H	H	SOMe	F	CH ₂ cPr	Ph	
920	CF ₃	H	H	SO ₂ Me	F	CH ₂ cPr	Ph	
921	CF ₃	H	H	SEt	F	CH ₂ cPr	Ph	
922	CF ₃	H	H	S-iPr	F	CH ₂ cPr	Ph	
923	CF ₃	H	H	OCF ₃	F	CH ₂ cPr	Ph-4-OMe	
924	CF ₃	H	H	OCF ₃	F	CH ₂ cPr	Ph-2-F	
925	CF ₃	H	H	OCF ₃	F	CH ₂ cPr	Ph-4-F	
926	CF ₃	H	H	OCF ₃	F	CH ₂ cPr	Ph	
927	CF ₃	H	H	NO ₂	OCH ₃	CH ₂ cPr	Ph	
928	CF ₃	H	H	NHAc	F	CH ₂ cPr	Ph	
929	CF ₃	H	H	NH ₂	F	CH ₂ cPr	Ph	
930	CF ₃	H	H	F	F	CH ₂ COOH	Ph	
931	CF ₃	H	H	F	F	CH ₂ cPr	2-imidazolyl 1-methyl-2-	
932	CF ₃	H	H	F	F	CH ₂ cPr	-imidazolyl 1-methyl-2-	
933	CF ₃	H	H	F	F	CH ₂ cPr	-oxazolyl	
934	CF ₃	H	H	F	F	CH ₂ cPr	2-isoxazolyl 1-methyl-2-	
935	CF ₃	H	H	F	F	CH ₂ cPr	-isoxazolyl	
936	CF ₃	H	H	F	F	CH ₂ cPr	2-pyrimidinyl	
937	CF ₃	H	H	F	F	CH ₂ cPr	4,6-dimethyl-2- -pyrimidinyl	
938	CF ₃	H	H	F	F	CH ₂ cPr	2-thiazolyl	
939	CF ₃	H	H	F	F	CH ₂ cPr	3-chloro-2-- thiazolyl	
940	CF ₃	H	H	F	F	CH ₂ cPr	1-pyrazinyl	
941	CF ₃	H	H	F	F	CH ₂ cPr	3-methyl-1-- pyrazinyl	
942	CF ₃	H	H	F	F	CH ₂ cPr	3-pyridazinyl	
943	CF ₃	H	H	F	F	CH ₂ cPr	3-methyl-4-- pyridazinyl	
944	CF ₃	H	H	F	F	CH ₂ cPr	2-furyl	
945	CF ₃	H	H	F	F	CH ₂ cPr	3-bromo-2--furyl	
946	CF ₃	H	H	F	F	CH ₂ cPr	4-thiazolyl	



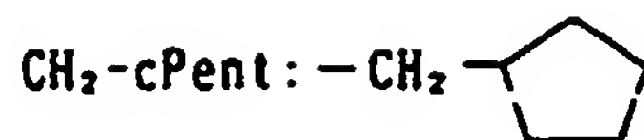
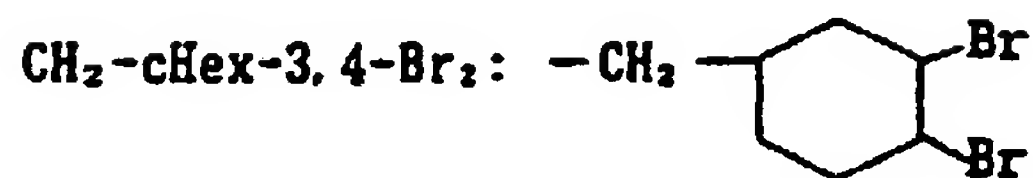
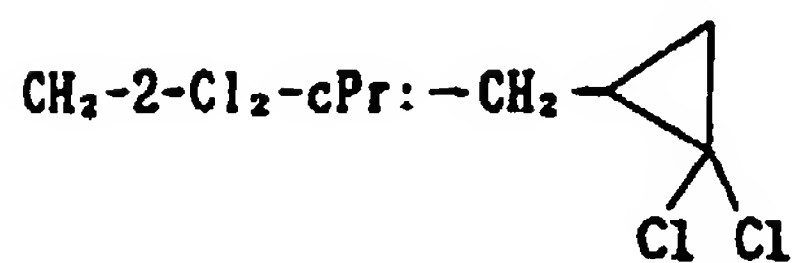
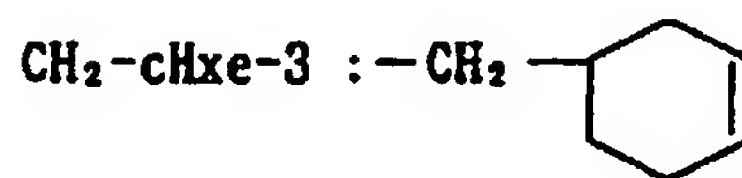
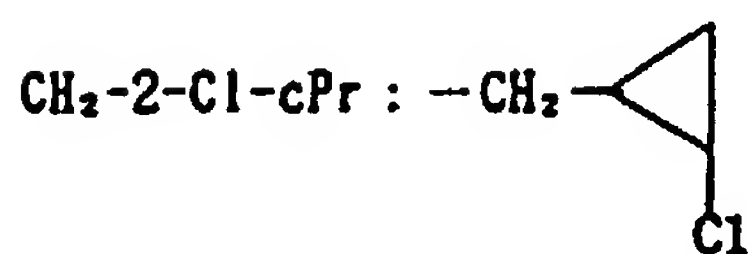
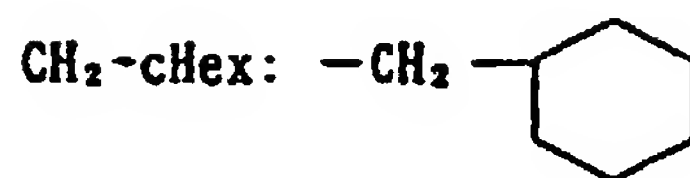
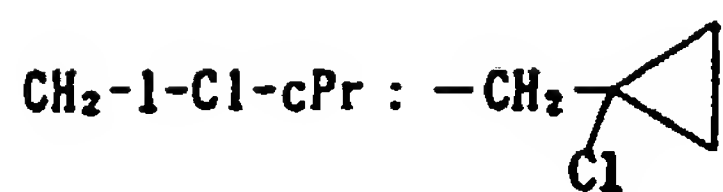
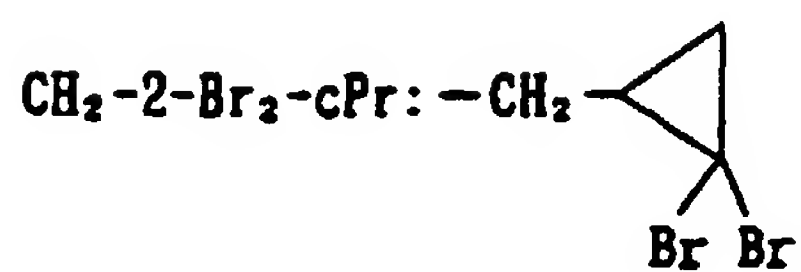
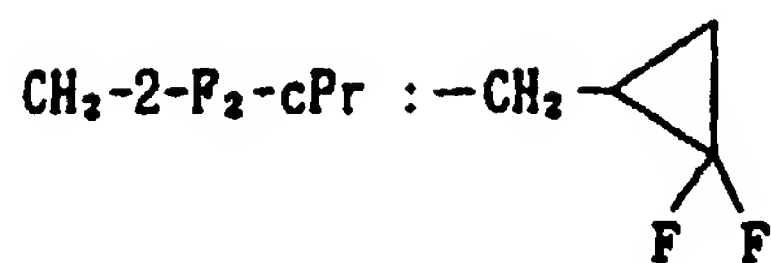
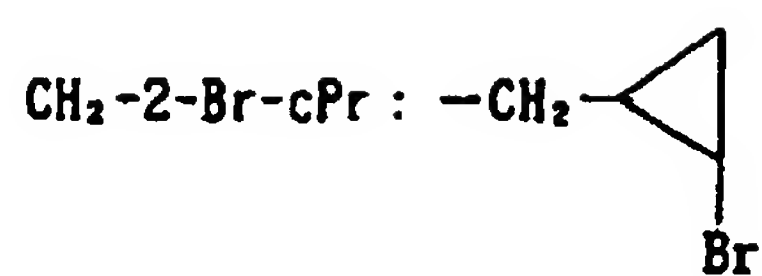
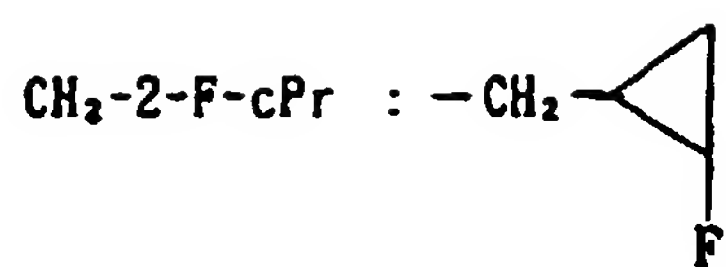
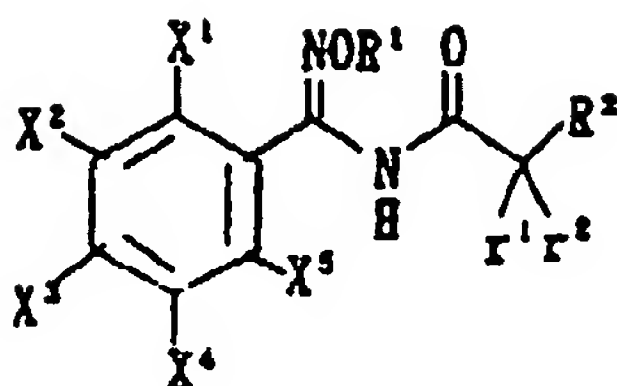


Table 4.



No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	r ₁	r ₂	R ²	Physical const. mp. (°C)/ refractive index
947	CF ₃	H	H	F	F	CH ₂ cPr	OMe	H	Ph	25.4-1.5069
948	CF ₃	H	H	F	F	CH ₂ cPr	Me	H	Ph	25.5-1.5106
949	CF ₃	H	H	F	F	CH ₂ cPr	Et	H	Ph	25.4-1.5003
950	CF ₃	H	H	F	F	CH ₂ cPr	OMe	CF ₃	Ph	25.5-1.4855
951	CF ₃	H	H	F	F	CH ₂ cPr	F	H	Ph	25.5-1.5059
952	CF ₃	H	H	F	F	CH ₂ cPr	Cl	H	Ph	25.5-1.5244
953	CF ₃	H	H	F	F	CH ₂ cPr	SMe	H	Ph	25.5-1.5220
954	CF ₃	H	H	F	F	CH ₂ cPr	=O		Ph	79-81
955	CF ₃	H	H	F	F	CH ₂ cPr	Me	Me	Ph	25.6-1.5105
956	CF ₃	H	H	F	F	CH ₂ cPr	F	F	Ph	50-52
957	CF ₃	H	H	F	F	CH ₂ cPr	NHMe	H	Ph	
958	CF ₃	H	H	Cl	F	CH ₂ cPr	OMe	H	Ph	
959	CF ₃	H	H	Cl	F	CH ₂ cPr	Me	H	Ph	
960	CF ₃	H	H	Cl	F	CH ₂ cPr	Et	H	Ph	
961	CF ₃	H	H	Cl	F	CH ₂ cPr	OMe	CF ₃	Ph	
962	CF ₃	H	H	Cl	F	CH ₂ cPr	F	H	Ph	
963	CF ₃	H	H	Cl	F	CH ₂ cPr	Cl	H	Ph	
964	CF ₃	H	H	Cl	F	CH ₂ cPr	SMe	H	Ph	
965	CF ₃	H	H	Cl	F	CH ₂ cPr	=O		Ph	

Table 4 (continued)

No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	r ₁	r ₂	R ²	Physical const. mp. (°C)/ refractive index
966	CF ₃	H	H	Cl	F	CH ₂ cPr	Me	Me	Ph	
967	CF ₃	H	H	Cl	F	CH ₂ cPr	F	F	Ph	
968	CF ₃	H	H	Cl	F	CH ₂ cPr	NHMe	H	Ph	
969	CF ₃	H	H	F	Cl	CH ₂ cPr	OMe	H	Ph	
970	CF ₃	H	H	F	Cl	CH ₂ cPr	Me	H	Ph	
971	CF ₃	H	H	F	Cl	CH ₂ cPr	Et	H	Ph	
972	CF ₃	H	H	F	Cl	CH ₂ cPr	OMe	CF ₃	Ph	
973	CF ₃	H	H	F	Cl	CH ₂ cPr	F	H	Ph	
974	CF ₃	H	H	F	Cl	CH ₂ cPr	Cl	H	Ph	
975	CF ₃	H	H	F	Cl	CH ₂ cPr	SMe	H	Ph	
976	CF ₃	H	H	F	Cl	CH ₂ cPr	=O		Ph	
977	CF ₃	H	H	F	Cl	CH ₂ cPr	Me	Me	Ph	
978	CF ₃	H	H	F	Cl	CH ₂ cPr	F	F	Ph	
979	CF ₃	H	H	F	Cl	CH ₂ cPr	NHMe	H	Ph	
980	CF ₃	H	H	Cl	Cl	CH ₂ cPr	OMe	H	Ph	
981	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Me	H	Ph	
982	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Et	H	Ph	
983	CF ₃	H	H	Cl	Cl	CH ₂ cPr	OMe	CF ₃	Ph	
984	CF ₃	H	H	Cl	Cl	CH ₂ cPr	F	H	Ph	
985	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Cl	H	Ph	
986	CF ₃	H	H	Cl	Cl	CH ₂ cPr	SMe	H	Ph	
987	CF ₃	H	H	Cl	Cl	CH ₂ cPr	=O		Ph	
988	CF ₃	H	H	Cl	Cl	CH ₂ cPr	Me	Me	Ph	
989	CF ₃	H	H	Cl	Cl	CH ₂ cPr	F	F	Ph	
990	CF ₃	H	H	Cl	Cl	CH ₂ cPr	NHMe	H	Ph	

Table 4 (continued)

No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	r ₁	r ₂	R ²	Physical const. mp. (°C)/ refractive index
991	CF ₃	H	H	H	F	CH ₂ cPr	OMe	H	Ph	
992	CF ₃	H	H	H	F	CH ₂ cPr	Me	H	Ph	
993	CF ₃	H	H	H	F	CH ₂ cPr	Et	H	Ph	
994	CF ₃	H	H	H	F	CH ₂ cPr	OMe	CF ₃	Ph	
995	CF ₃	H	H	H	F	CH ₂ cPr	F	H	Ph	
996	CF ₃	H	H	H	F	CH ₂ cPr	Cl	H	Ph	
997	CF ₃	H	H	H	F	CH ₂ cPr	SMe	H	Ph	
998	CF ₃	H	H	H	F	CH ₂ cPr	=O		Ph	
999	CF ₃	H	H	H	F	CH ₂ cPr	Me	Me	Ph	
1000	CF ₃	H	H	H	F	CH ₂ cPr	F	F	Ph	
1001	CF ₃	H	H	H	F	CH ₂ cPr	NHMe	H	Ph	
1002	CF ₃	H	H	F	F	Et	Me	H	Ph	
1003	CF ₃	H	H	F	F	CH ₂ C≡CH	Me	H	Ph	
1004	CF ₃	H	H	F	F	CH ₂ CH ₂ Cl	Me	H	Ph	
1005	CF ₃	H	H	F	F	Et	Me	H	Ph-4-OMe	
1006	CF ₃	H	H	F	F	CH ₂ C≡CH	Me	H	Ph-4-OMe	
1007	CF ₃	H	H	F	F	CH ₂ CH ₂ Cl	Me	H	Ph-4-OMe	
1008	CF ₃	H	H	F	Cl	Et	Me	H	Ph	
1009	CF ₃	H	H	F	Cl	CH ₂ C≡CH	Me	H	Ph	
1010	CF ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Me	H	Ph	
1011	CF ₃	H	H	F	Cl	Et	Me	H	Ph-4-OMe	
1012	CF ₃	H	H	F	Cl	CH ₂ C≡CH	Me	H	Ph-4-OMe	
1013	CF ₃	H	H	F	Cl	CH ₂ CH ₂ Cl	Me	H	Ph-4-OMe	

Table 4 (continued)

No.	X ¹	X ²	X ³	X ⁴	X ⁵	R ¹	r ₁	r ₂	R ²	Physical const. mp. (°C)/ refractive index
1014	CF ₃	H	H	Cl	F	Et	Me	H	Ph	
1015	CF ₃	H	H	Cl	F	CH ₂ C≡CH	Me	H	Ph	
1016	CF ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Me	H	Ph	
1017	CF ₃	H	H	Cl	F	Et	Me	H	Ph-4-OMe	
1018	CF ₃	H	H	Cl	F	CH ₂ C≡CH	Me	H	Ph-4-OMe	
1019	CF ₃	H	H	Cl	F	CH ₂ CH ₂ Cl	Me	H	Ph-4-OMe	
1020	CF ₃	H	H	Cl	Cl	Et	Me	H	Ph	
1021	CF ₃	H	H	Cl	Cl	CH ₂ C≡CH	Me	H	Ph	
1022	CF ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Me	H	Ph	
1023	CF ₃	H	H	Cl	Cl	Et	Me	H	Ph-4-OMe	
1024	CF ₃	H	H	Cl	Cl	CH ₂ C≡CH	Me	H	Ph-4-OMe	
1025	CF ₃	H	H	Cl	Cl	CH ₂ CH ₂ Cl	Me	H	Ph-4-OMe	
1026	CF ₃	H	H	H	F	Et	Me	H	Ph	
1027	CF ₃	H	H	H	F	CH ₂ C≡CH	Me	H	Ph	
1028	CF ₃	H	H	H	F	CH ₂ CH ₂ Cl	Me	H	Ph	
1029	CF ₃	H	H	H	F	Et	Me	H	Ph-4-OMe	
1030	CF ₃	H	H	H	F	CH ₂ C≡CH	Me	H	Ph-4-OMe	
1031	CF ₃	H	H	H	F	CH ₂ CH ₂ Cl	Me	H	Ph-4-OMe	
1032	CF ₃	H	H	F	F	CH ₂ CN	H	H	Ph	77-80
1033	CF ₃	H	H	F	Cl	CH ₂ CN	H	H	Ph	
1034	CF ₃	H	H	F	F	CH ₂ CH(OEt) ₂	H	H	Ph	
1035	CF ₃	H	H	F	Cl	CH ₂ CH(OEt) ₂	H	H	Ph	
1036	CF ₃	H	H	NO ₂	OEt	CH ₂ cPr	H	H	Ph	62-63

*25.4-1.5069 means ^{25.4}n_D 1.5069 (refractive index) in the above tables.

¹H-NMR data (CDCl₃, δ ppm from TMS);

*1 Compound No. 346

0.1 ~ 0.2 (2H, m), 0.45 ~ 0.55 (2H, m), 0.95 ~ 1.1 (1H, m), 3.60 (2H, s),
3.8 ~ 3.9 (2H, m), 3.82 (3H, s), 6.92 (2H, d), 7.1 ~ 7.25 (3H, m), 7.51
(1H, dd), 8.53 (1H, brs)

[0045] The compounds of the present invention can show excellent fungicidal activity against wide varieties of fungi, and therefore, the compounds can be useful for plant disease control in the farming of agricultural and horticultural crops including ornamental flowers, turf and forage crops.

[0046] The examples for the plant diseases to be controlled with the compounds of the present invention are given in the following.

Paddy rice	Blast	(Pyricularia oryzae)
	Sheath blight	(Rhizoctonia solani)
	Bakanae disease	(Gibberella fujikuroi)
Barley	Heiminthosporium	leaf spot (Cochliobolus miyabeanus)
Wheat	Loose smut	(Ustilago nuda)
	Scab	(Gibberella zeae)
	Leaf rust	(Puccinia recondita)
	Eye spot	(Pseudocercospora herpotrichoides)
	Glume blotch	(Leptosphaeria nodorum)
	Powdery mildew	(Erysiphe graminis f. sp. tritici)
	Fusarium snow blight	(Micronectriella nivalis)
Potato	Late blight	(Phytophthora infestans)
Ground nut	Leaf spot	(Mycosphaerella aradius)
Sugar beat	Cercospora leaf spot	(Cercospora beticola)
Cucumber	Powdery mildew	(Sphaerotheca fuliginea)
	Sclerotinia rot	(Sclerotinia sclerotiorum)
	Gray mold	(Botrytis cinerea)
	Downy mildew	(Pseudoperonospora cubensis)
Tomato	Leaf mold	(Cladosporium fulvum)
	Late blight	(Phytophthora infestans)
Egg plant	Black rot	(Corynespora melongenae)
Onion	Gray-mold neck rot	(Botrytis allii)
Strawberry	Powdery mildew	(Sphaerotheca humuli)
Apple	Powdery mildew	(Podosphaera leucotricha)
	Scab	(Venturia inaequalis)
	Blossom blight	(Monilinia mali)
Persimmon	Anthraxnose	(Gloeosporium kaki)
Peach	Brown rot	(Monilinia fructicola)
Grape	Powdery mildew	(Uncinula necator)
	Downy mildew	(Plasmopara viticola)

(continued)

Pear	Rust	(Gymnosporangium asiaticum)
	Black spot	(Alternaria kikuchiana)
Tea-plant	Leaf spot	(Pestalotia theae)
	Anthraxnose	(Colletotrichum theae-sinensis)
Orange	Scab	(Elsinoe fawcetti)
	Blue mold	(Penicillium italicum)
Turf	Sclerotinia snow blight	(Sclerotinia borealis)

[0047] In recent years, it is known that various pathogenic fungi have developed their resistance to benzimidazole fungicides and ergosterol biosynthesis inhibitors and that such fungicides have been insufficient in their fungicidal effectiveness. Therefore, it is required to provide new compounds useful as a fungicide which are effective to the resistant-strain of such pathogenic fungi as well. The compounds of the present invention are the ones which can be a fungicide having excellent fungicidal effectiveness not only to the susceptible-strains of pathogenic fungi but also to the resistant-strains of pathogenic fungi to benzimidazole fungicides and ergosterol biosynthesis inhibitors.

[0048] For the preferable examples of plant diseases to be applied with the compounds of the present invention, powdery mildew on wheat, powdery mildew on cucumber, powdery mildew on strawberries, etc. can be given.

[0049] The compounds of the present invention can be utilized as an antifouling agent for preventing the adhesion of aqueous organisms to structures, such as the bottom of a ship and fishing nets, in water and sea.

[0050] Also, the compounds of the present invention can be contained in paints and fibers and thereby used as an antimicrobial agent for walls, bathtubs, shoes and clothes.

[0051] Furthermore, some of the compounds of the present invention can show insecticidal, acaricidal and herbicidal activities.

[0052] In the practical application of the compounds of the present invention obtained as described above, the compounds can be used in the state as it is without formulation, or, for the use as agricultural plant protection chemicals, the compounds can be applied in forms of general formulations for agricultural plant protection chemicals, such as wettable powders, granules, powders, emulsifiable concentrates, aqueous solutions, suspensions and flowables. For the additives and carriers to be used in the formulations described above, vegetable powders, such as soybean powder and wheat powder, mineral fine powders, such as diatomaceous earth, apatite, gypsum, talc, bentonite, pyrophyllite and clay, and organic and inorganic compounds, such as sodium benzoate, urea and Glauber's salt, can be used, when the compounds are formulated into solid formulations. Whereas, when the compounds are formulated into liquid formulations, petroleum fractions, such as kerosene, xylene and solvent naphtha, cyclohexane, cyclohexanone, dimethyl formamide, dimethyl sulfoxide, alcohols, acetone, trichloro ethylene, methylisobutyl ketone, mineral oils, vegetable oils and water, can be used as the solvent. In these formulations, surface active agents may be added to the formulations in order to make the formulations homogeneous and stable, if appropriate.

[0053] The content of the compound of the present invention as the active principle in the formulations is preferably in a range of from 5 to 70%. The wettable powders, the emulsifiable concentrates and the flowable formulation comprising the compound of the present invention prepared as described above can be applied in a form prepared by diluting the formulations with water to the suspension or the emulsion at a desired concentrations, while the powders and the granules of the said compound can be directly applied to plants without dilution.

[0054] The compounds of the present invention can demonstrate sufficient effectiveness on plant diseases independently, however, it is also possible to use the said compound in admixing with 1 or more of other fungicides, insecticides, acaricides or synergists.

[0055] The followings are the examples for the fungicides, insecticides, acaricides, nematocides and plant growth regulators, those which are usable in admixing with the compounds of the present invention.

Fungicides:

Copper-based fungicides:

[0056] Basic copper chloride, basic copper sulfate, etc.

Sulphur-based fungicides:

[0057] Thiram, maneb, mancozeb, polycarbamate, propineb, ziram, zineb, etc.

Polyhaloalkylthio fungicides:

[0058] Captan, dichlofluanid, folpet, etc.

5 Organochlorine fungicides:

[0059] Chlorothalonil, fthalide, etc.

Organophosphorous fungicides:

10 [0060] IBP, EDDP, tolclofos-methyl, pyrazophos, fosetyl-Al, etc.

Benzimidazole fungicides:

15 [0061] Thiophanate-methyl, benomyl, carbendazim, thiabendazole, etc.

Dicarboxyimide fungicides:

20 [0062] Oxycarboxine, mepronil, flutolanil, techlofthalam, trichlamide, pencycuron, etc.

Acyl alanine fungicides:

[0063] Metalaxyl, oxadixyl, furalaxyl etc.

25 EBI fungicides:

[0064] Triadimefon, triadomenol, blitertanol, microbutanil, hexaconazol, propiconazole, triflumizole, procloraz, pefla-
zoate, fenarimol, pyrifeno, trifolin, flusilazole, etaconazole, diclobutrazol, fluotrimazole, flutriafe, penconazole, dini-
conazole, cyproconazole, imazalil, tridemorph, fenpropimorph, buthiobate, etc.

30 Antibiotics:

[0065] Polyoxin, blastidil-S, kasugamycin, validamycin, streptomycin sulfate, etc.

35 Others:

[0066] Propamocarb hydrochloride salt, quintozone, hydroxyisoxazole, metasulfocarb, anilazine, isoprothiolane,
probenazole, quinomethionate, dithianone, dinocap, dichlomezine, mepaniprim, ferimzone, fluazinam, pyroquillon, tri-
cyclazole, oxolinic acid, dithianone, iminoctazine acetate salt, cymoxanil, pyrrolenitrine, metasulfocarb, diethofencarb,
40 binapacryl, lecithin, sodium hydrogencarbonate, fenaminosulf, dodine, dimethomorph, fenazine oxide, etc.

Insecticides and Acaricides:

Organophosphorous and carbamate insecticides:

45 [0067] Fenthion, fenitrothion, diazinon, chlorpyrifos, ESP, vamidothion, fenthioate, dimethoate, formothion, malathion,
trichlorfon, thiometon, phosmet, dichlorvos, acephate, EPBP, methyl parathion, oxydimeton methyl, ethion, salithion,
cyanophos, isoxathion, pyridafenthion, phosalon, methyldathion, sulprofos, chlorfenvinphos, tetrachlorvinphos, dimeth-
ylvinphos, propaphos, isofenphos, ethylthiometon, profenofos, pyraclophos, monocrotophos, azinphos methyl, aldi-
50 carb, methomyl, thiodicarb, carbofuran, carbosulfan, benfuracarb, furathiocarb, propoxur, BPMC, MTMC, MIPC, car-
baryl, pirimicarb, ethiofencarb, fenoxycarb, cartap, thiocyclam, bensultap, etc.

Pyrethroid Insecticides:

55 [0068] Permethrin, cypermethrin, deltamethrin, fenvalerate, fenpropathrin, pyrethrin, allethrin, tetramethrin, resmeth-
rin, dimethrin, propathrin, fenothrin, prothrin, fluvalinate, cyfluthrin, cyhalothrin, flucythrinate, ethofenprox, cyclopro-
thrin, tralomethrin, silafluophen, brofenprox, acrinathrin, etc.

Benzoyl urea-based insecticides and others:

[0069] Diflubenzuron, chlorfluazuron, hexaflumuron, triflumuron, tetrabenzuron, flufenoxuron, flucycloxuron, buprofezin, pyriproxyfen, methoprene, benzoepin, diaphenthiuron, imidacloprid, fipronil, nicotine sulfate, rotenone, meta-

Nematocides:

[0070] Fenamiphos, phosthiazate, etc.

Acaricides:

[0071] Chlorbenzilate, phenisobromolate, dicofol, amitraz, BPPS, benzomate, hexythiazox, fenbutatin oxide, polynactin, quinomethionate, CPCBS, tetradifon, avermectin, milbemectin, chlofentezin, cyhexatin, pyridaben, fenpyroxy-

Plant Growth Regulators:

[0072] Gibberellines (Gibberelline A₃, Gibberelline A₄, Gibberelline A₇, etc.), IAA, and NAA.

[0073] Now, the examples of the formulations comprising the compound of the present invention are described hereinbelow, however, it should be noted that the type and the rate of the additives shall not be limited to the ones described in the examples and can be replaced by wide range of other additives and/or carriers. In the examples hereinbelow, a part mentioned in each of the formulation examples represents a part by weight.

Example 3 : Wettable Powder

[0074]

The compound of the present invention	40 parts
Diatomaceous earth	53 parts
Sulfuric acid ester of higher alcohol	4 parts
Alkyl naphthalene sulfonate	3 parts

[0075] All components are admixed and micronized to fine powder, thereby affording the wettable powder formulation containing the active principle at a concentration of 40%.

Example 4 : Emulsifiable Concentrate

[0076]

The compound of the present invention	30 parts
Xylene	33 parts
Dimethyl formamide	30 parts
Polyoxy ethylene alkylally ether	7 parts

[0077] All components are admixed and then dissolved into a solution, thereby affording the emulsifiable concentrate formulation containing the active principle at a concentration of 30%.

Example 5 : Dust Formulation

[0078]

The compound of the present invention	10 parts
Talc	89 parts
Polyoxy ethylene alkylally ether	1 parts

[0079] All components are admixed and pulverized to fine dusting powder, thereby affording the dust formulation containing the active principle at a concentration of 10%.

Example 6 : Granular Formulation

[0080]

The compound of the present invention	5 parts
Clay	73 parts
Bentonite	20 parts
Sodium salt of dioctylsulfosuccinate	1 part
Sodium phosphate	1 part

[0081] All components are admixed, pulverized and then kneaded thoroughly while adding water, and then further granulated and dried, thereby affording the granular formulation containing the active principle at a concentration of 5%.

Example 7 : Suspension

[0082]

The compound of the present invention	10 parts
Sodium lignin sulfonate	4 parts
Sodium dodecyl benzene sulfonate	1 part
Xanthane Gum	0.2 part
Water	84.8 parts

[0083] All components are admixed and subjected to wet grinding up to the particle size of less than 1 μ , thereby affording the suspension containing the active principle at a concentration of 10%.

[0084] Now, the usefulness of the compounds of the present invention as the active principle of a plant protection chemical for controlling various plant diseases is shown in Test Examples described hereinbelow. The effectiveness of the compounds on plant disease control were assessed basing on the pathological changes in the state of plants provided, namely the degree of disease-induced lesion on leaves, stems and other parts of the plants was visually observed, respectively. The assessment was conducted by giving scores in effectiveness on plant diseases to each test plots as the following.

Score, 5; If no lesion were observed.

Score, 4; If the degree of the lesion observed were approximately 10% of the degree in the untreated plot.

Score, 3; If the degree of the lesion observed were approximately 25% of the degree in the untreated plot.

Score, 2; If the degree of the lesion observed were approximately 50% of the degree in the untreated plot.

Score, 1; If the degree of the lesion observed were approximately 75% of the degree in the untreated plot.

Score, 0; If the degree of the lesion observed were almost same as the degree in the untreated plot.

Test Example 1 : Preventive Control Efficacy Test on Wheat Powdery Mildew

[0085] To young seedlings of wheat (Variety: Chihoku) grown in an unglazed pot, the emulsion at a concentration of 12.5 ppm prepared from the emulsifiable concentrate comprising the compound of the present invention were sprayed thoroughly. After the spraying, the seedlings were dried under natural condition and then inoculated by means of sprinkling with the conidia of the fungus causing wheat powdery mildew (*Erysiphe graminis* f. sp. *tritici*) and placed in a greenhouse maintained at around 20 °C for 7 days in order to complete the infection. Appearance of the lesion on leaves cause by the disease was assessed and compared with the lesion on leaves in the untreated plot, thereby evaluating the preventive efficacy of the compound to the disease. The results are shown in Table 5.

Test Example 2 : Preventive Control Efficacy Test on Cucumber Powdery Mildew

[0086] To young seedlings of cucumber (Variety: Sagami-Hanjiro) grown in an unglazed pot, the emulsion at a concentration of 12.5 ppm prepared from the emulsifiable concentrate comprising the compound of the present invention

were sprayed. After the spraying, the seedlings were dried under natural condition and then inoculated by means of sprinkling with the conidia of the fungus causing cucumber powdery mildew (*Sphaerotheca fuliginea*) and placed in a temperature-controlled room maintained at around 25°C for 11 days in order to complete the infection. Appearance of powdery mildew-causing lesion on the leaves whereto the compound was sprayed was assessed and compared with the lesion appeared on leaves in the untreated plot, thereby evaluating the preventive efficacy of the compound to the disease. The results are shown in Table 5.

[0087] As shown in Table 5, it is demonstrated that the compounds of the present invention can show superior preventive control efficacy to not only wheat powdery mildew but also cucumber powdery mildew in comparison with other compounds tested.

Test Example 3 : Test on Cucumber Powdery Mildew Control with Vapour

[0088] 10 µl of the emulsion at a concentration of 500 ppm prepared from the emulsifiable concentrate comprising the compound of the present invention were fed dropwise onto round aluminium foils each having a diameter of 1 cm and dried at room temperature under natural condition.

[0089] The aluminium foils were then fixed on the upper side of the leaves of cucumber seedlings (Variety: Sagami-Hanjiro) grown in an unglazed pot. After 24 hours, the cucumber leaves were inoculated by means of sprinkling with the conidia of the fungus causing cucumber powdery mildew (*Sphaerotheca fuliginea*) and placed in a temperature-controlled room maintained at around 25°C for 11 days in order to complete the infection. Appearance of powdery mildew-causing lesion on the leaves placed with the aluminium foil was assessed and compared with the lesion appeared on the leaves in the untreated plot. The control efficacy with the vapour of the compound to the disease was confirmed in case that disease lesion-free circle having a diameter of more than 2 cm is formed around the aluminium foil fixed on a leaf. The results are shown in Table 5.

[0090] On the other hand, other compounds for the comparison, which are disclosed in Japanese Patent Laid-opened No. Hei 2-6453 (see Table 5), did not show the control efficacy with the vapour to the disease.

[0091] Since the compounds of the present invention have vapour action, it is suggested that the compound of the present invention can show plant disease control efficacy even in the inner space of leaves and fruits of crops whereto spraying of a fungicide in even condition is generally rather difficult.

Table 5.

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapar action
1	12.5	5	5	good
3	12.5	5	5	
4	12.5	5	5	
5	12.5	5	5	
6	12.5	5	5	
7	12.5	4	5	
8	12.5	5	5	
9	12.5	5	5	
10	12.5	4	5	
11	12.5	5	5	
12	12.5	5	5	
13	12.5	5	5	
18	12.5	2	4	
19	12.5	4	5	
20	12.5	4	5	
22	12.5	5	5	
24	12.5	5	5	
25	12.5	5	5	
27	12.5	5	5	
28	12.5	4	4	

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
29	12.5	4	4	
30	12.5	5	4	
32	12.5	4	4	
33	12.5	3	5	
34	12.5	4	5	good
35	12.5	5	5	good
36	12.5	5	4	
37	12.5	4	5	good
38	12.5	5	4	
39	12.5	5	5	good
42	12.5	5	5	good
43	12.5	5	5	good
44	12.5	5	5	good
45	12.5	4	4	
46	12.5	5	5	
47	12.5	5	5	good
48	12.5	5	5	good
49	12.5	5	5	
50	12.5	5	5	good
51	12.5	5	5	good
52	12.5	5	5	good
53	12.5	5	5	
54	12.5	5	5	good
55	12.5	5	5	

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapar action
56	12.5	5	5	good
57	12.5	5	5	good
58	12.5	4	4	
59	12.5	4	4	
60	12.5	4	5	
62	12.5	4	5	
64	12.5	4	4	
65	12.5	5	5	
66	12.5	5	5	
68	12.5	5	5	
71	12.5	5	4	
74	12.5	5	5	
82	12.5	5	5	
96	12.5	5	5	good
99	12.5	5	5	good
105	12.5	5	5	good
112	12.5	5	5	good
113	12.5	5	5	good
114	12.5	4	5	
115	12.5	4	5	
140	12.5	3	5	good

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapar action
149	12.5	5	5	good
156	12.5	5	5	good
157	12.5	5	5	good
209	12.5	4	4	
211	12.5	4	4	
212	12.5	5	5	
234	12.5	5	5	good
239	12.5	5	5	good
240	12.5	5	5	good
241	12.5	5	5	good
242	12.5	5	5	good
255	12.5	5	5	good
258	12.5	5	5	good
260	12.5	5	5	good
262	12.5	5	5	good
263	12.5	5	5	
264	12.5	5	5	good
266	12.5	5	5	
267	12.5	5	5	good
268	12.5	5	5	
269	12.5	5	5	good
270	12.5	5	5	good
271	12.5	5	5	

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
272	12.5	5	5	good
273	12.5	5	5	good
274	12.5	5	5	
275	12.5	5	5	
276	12.5	5	4	
277	12.5	5	5	
279	12.5	5	5	good
280	12.5	5	5	
286	12.5	5	5	
287	12.5	5	5	
288	12.5	5	5	
289	12.5	5	5	
290	12.5	5	5	good
293	12.5	4	5	
304	12.5	5	5	
307	12.5	5	5	
309	12.5	5	5	good
311	12.5	5	5	good
312	12.5	5	5	
313	12.5	5	5	good

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
315	12.5	5	5	good
316	12.5	5	5	
319	12.5	5	5	
320	12.5	5	5	
321	12.5	5	5	
322	12.5	5	5	
323	12.5	5	5	
324	12.5	4	4	good
326	12.5	5	5	
328	12.5	5	5	
333	12.5	5	5	
346	12.5	5	4	
347	12.5	4	4	good
348	12.5	3	4	
349	12.5	4	5	
351	12.5	5	4	
352	12.5	5	4	good
353	12.5	5	4	good

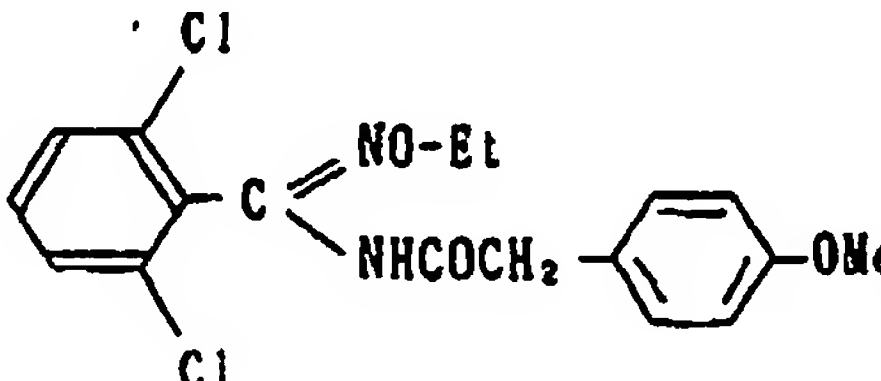
Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
364	12.5	5	5	good
367	12.5	5	5	good
368	12.5	5	5	good
370	12.5	5	5	good
371	12.5	5	5	good
372	12.5	5	5	good
373	12.5	5	5	good
374	12.5	5	5	good
375	12.5	5	5	good
376	12.5	5	5	good
377	12.5	5	5	good
378	12.5	5	5	
379	12.5	5	5	good
381	12.5	5	5	good
383	12.5	5	5	good
386	12.5	5	5	good
389	12.5	5	5	good
391	12.5	5	5	
392	12.5	5	5	good

Table 5 (continued)

No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
393	12.5	5	5	good
395	12.5	5	5	good
396	12.5	5	5	good
399	12.5	4	5	
400	12.5	5	5	
401	12.5	5	5	good
402	12.5	5	5	good
403	12.5	5	5	good
404	12.5	5	5	good
405	12.5	5	5	good
406	12.5	5	5	good
407	12.5	5	5	good
408	12.5	5	5	good
409	12.5	5	5	
410	12.5	5	5	
413	12.5	5	5	good
414	12.5	5	5	good
415	12.5	5	5	good
429	12.5	5		

Table 5 (continued)

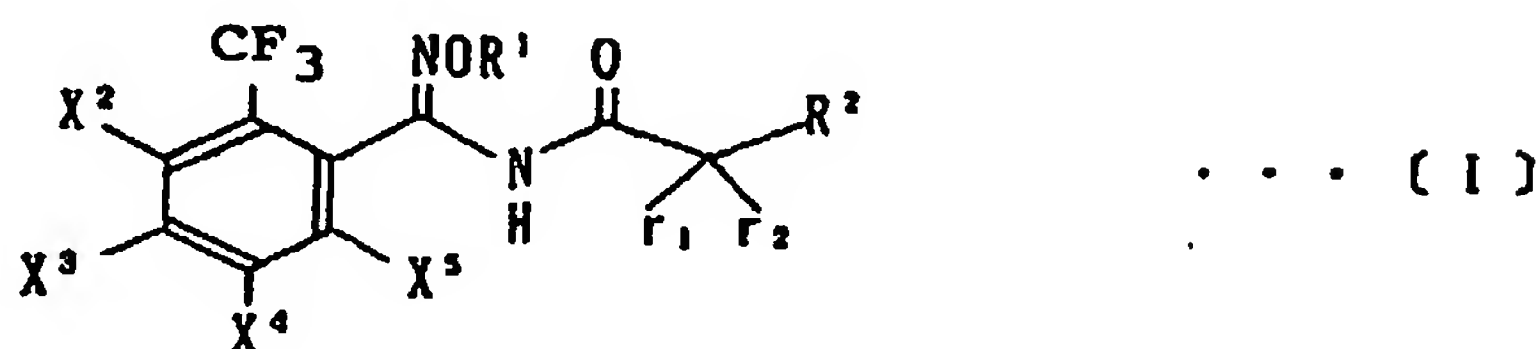
No.	Concentration of active ingredient (ppm)	Wheat Powdery mildew	Cucumber Powdery mildew	Vapor action
430	12.5	5		
442	12.5	5	5	good
445	12.5	5	5	good
447	12.5	5	5	good
451	12.5	5	5	good
453	12.5	5	5	good
454	12.5	5	5	good
455	12.5	5	5	good
456	12.5	5	5	good
457	12.5	5	5	good
458	12.5	5	5	good
459	12.5	5	5	good
508	12.5	5	5	
694	12.5	5		
861	12.5	4		
868	12.5	4		
937	12.5	4		
Reference:				
				
	12.5	5	1	

Industrial Applicability:

[0092] The compounds of the present invention have excellent fungicidal effectiveness, and therefore, are useful as a fungicide for agricultural and horticultural use.

Claims

1. Benzamidoxime derivatives represented by the formula (I);



wherein

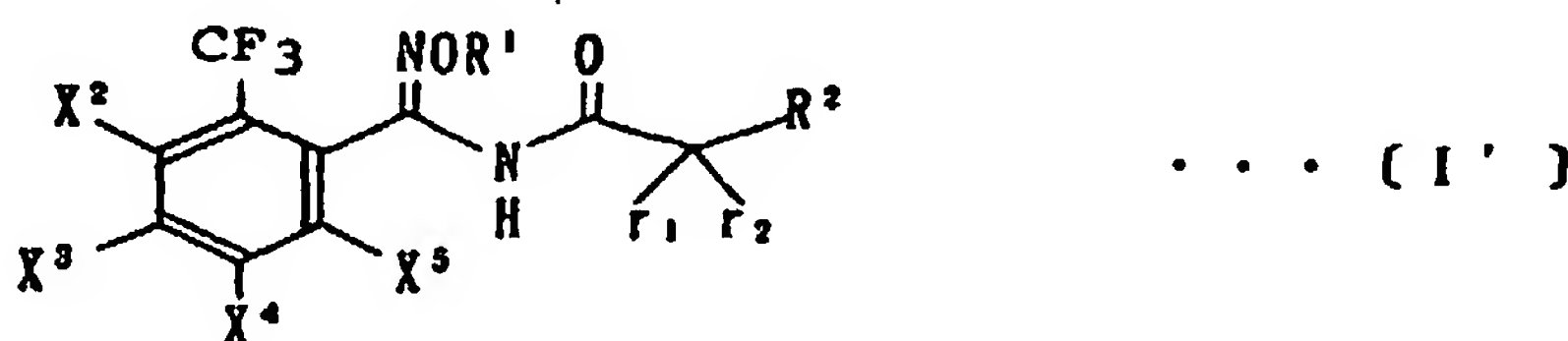
R¹ is unsubstituted or substituted C₁-C₄ alkyl, unsubstituted or substituted C₂-C₄ alkenyl or unsubstituted or substituted C₂-C₄ alkynyl,

R² is phenyl optionally having substituents or heterocycle optionally having substituents,

X², X³, X⁴ and X⁵ are each independently hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, nitro, amino or C₁-C₄ alkylcarbonylamino,

and r₁ and r₂ are each independently hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio or amino, or r₁ and r₂ together may form a carbonyl.

2. Benzamidoxime derivatives represented by the formula (I');



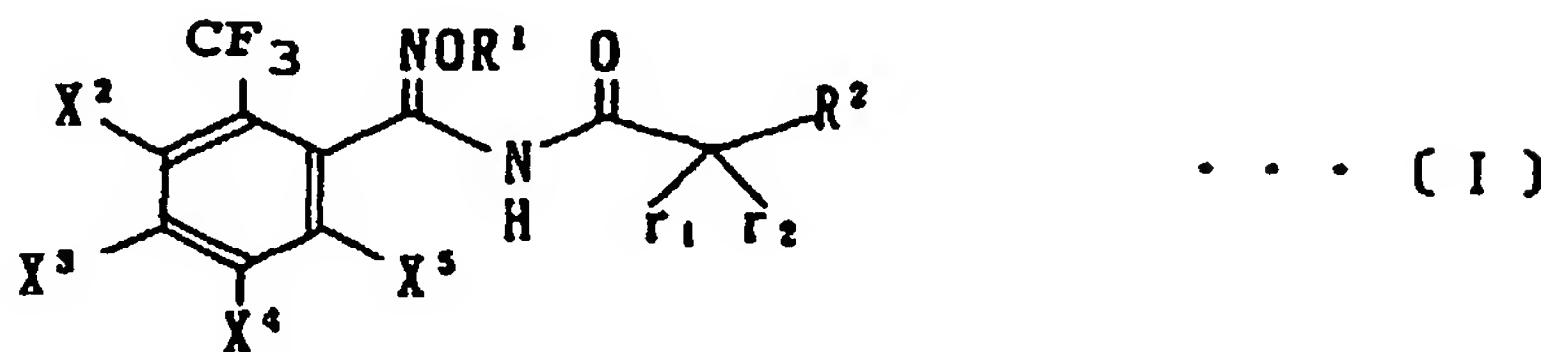
wherein

R¹ is straight-chain or branched C₁-C₄ alkyl; a group represented by a general formula, R³CH₂, wherein R³ is a group selected from the group consisting of C₃-C₈ cycloalkyl, C₁-C₃ haloalkyl, C₁-C₃ alkoxy, C₁-C₃ alkylthio, C₁-C₃ alkylsulfinyl, C₁-C₃ alkylsulfonyl, C₁-C₃ alkoxycarbonyl, cyano, amino, C₁-C₃ monoalkylamino, C₁-C₃ dialkylamino, acylamino and cyano C₂-C₄ alkenyl or C₂-C₄ alkynyl,

R² is phenyl optionally having one or more substituents selected from the group consisting of halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkyl and C₁-C₃ haloalkoxy; or 5- or 6-membered aromatic heterocycle containing 1 to 4 heteroatoms or one or more species selected from a group consisting of nitrogen, oxygen and sulphur, those which are optionally having one or more substituents selected from a group consisting of halogen, C₁-C₃ alkyl, C₁-C₃ alkoxy, C₁-C₃ haloalkyl and C₁-C₃ haloalkoxy,

X², X³, X⁴ and X⁵ are each independently hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy, C₁-C₄ alkylthio, C₁-C₄ alkylsulfinyl, C₁-C₄ alkylsulfonyl, nitro, amino or C₁-C₄ alkylcarbonylamino, and r₁ and r₂ are each independently hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ alkylthio or amino, or r₁ and r₂ together may form carbonyl.

3. A process for preparation of benzamidoxime derivatives represented by the formula (I);



10 wherein R¹, X², X³, X⁴, X⁵, R², r₁ and r₂ are as described above, which comprises reacting a compound represented by the formula (II)



25 wherein R¹, X³, X⁴ and X⁵ are as described above, with a compound represented by the formula (III);

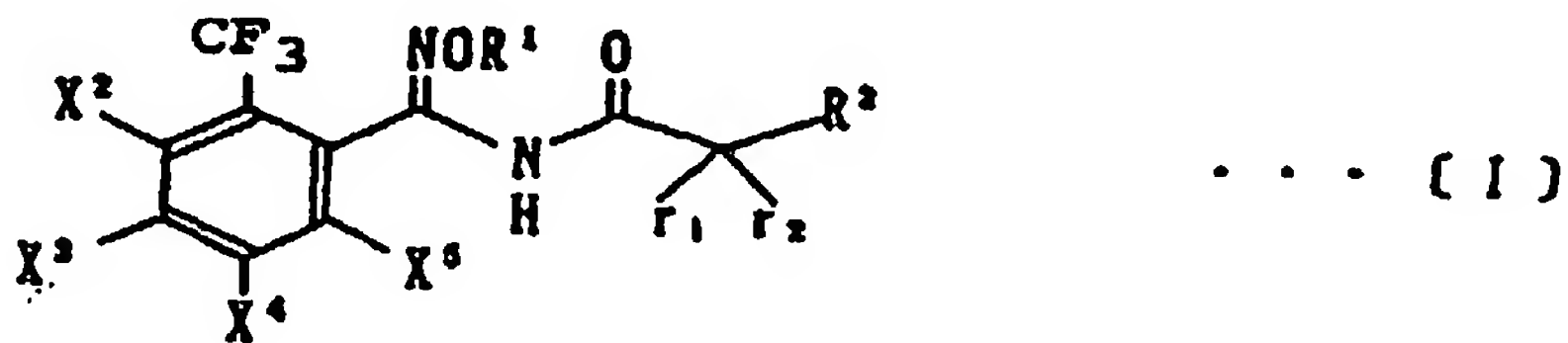


35 wherein Hal represents halogen, and R², r₁ and r₂ are as described above.

4. A fungicide for agricultural and horticultural use, comprising one or more of the benzamidoxime derivatives as defined in claim 1 or 2.

40 Patentansprüche

1. Benzamidoxim-Derivate, angegeben durch die Formel (I);

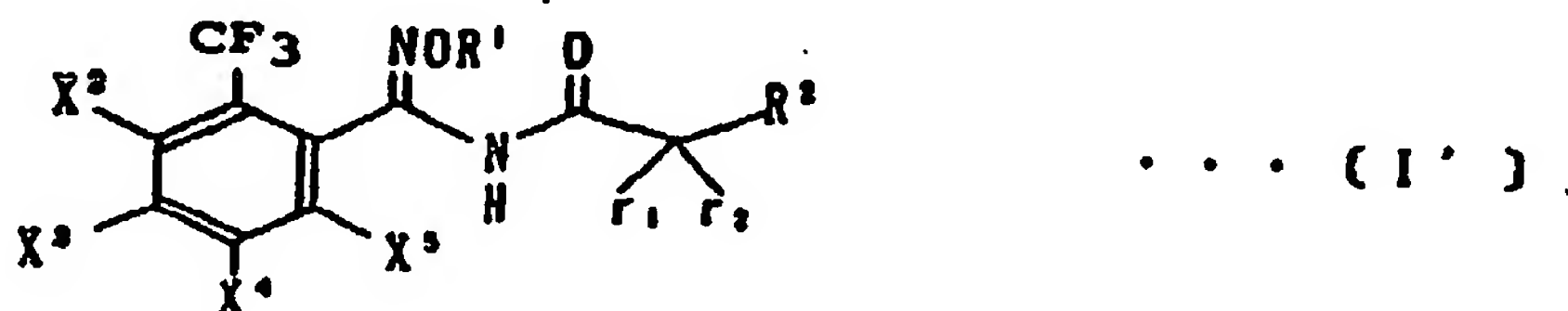


worin

55 R¹ unsubstituiertes oder substituiertes C₁-C₄-Alkyl, unsubstituiertes oder substituiertes C₂-C₄-Alkenyl oder unsubstituiertes oder substituiertes C₂-C₄-Alkynyl ist,
R² Phenyl, gegebenenfalls Substituenten aufweisend, oder ein Heterocyclus, gegebenenfalls Substituenten aufweisend, ist,

X^2 , X^3 , X^4 und X^5 jeweils unabhängig für Wasserstoff, Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_1 - C_4 -Alkoxy, C_1 - C_4 -Halogenalkoxy, C_1 - C_4 -Alkylthio, C_1 - C_4 -Alkylsulfinyl, C_1 - C_4 -Alkylsulfonyl, Nitro, Amino oder C_1 - C_4 -Alkylcarbonylamino, stehen,
 und r_1 und r_2 jeweils unabhängig für Wasserstoff, Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_1 - C_4 -Alkoxy, C_1 - C_4 -Alkylthio oder Amino stehen, oder r_1 und r_2 zusammen ein Carbonyl bilden können.

2. Benzamidoxim-Derivate, angegeben durch die Formel (I');



worin

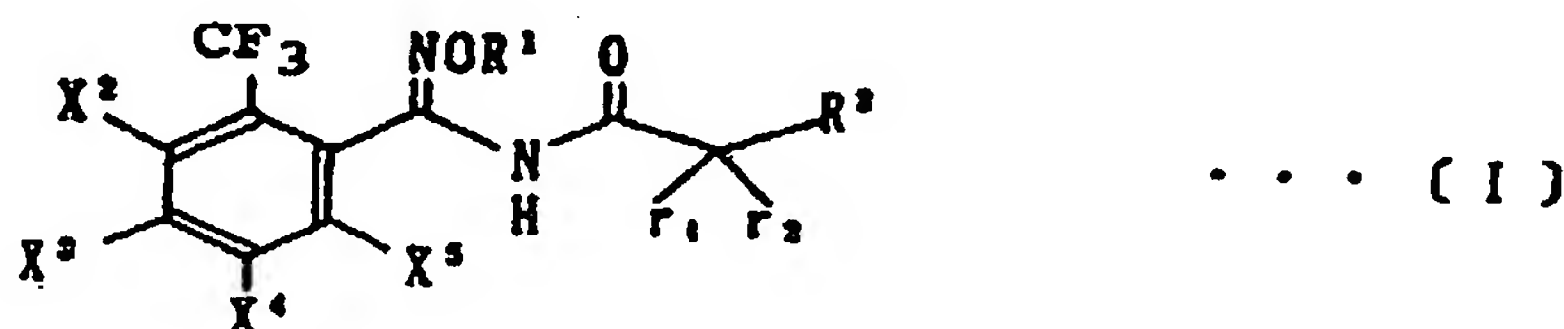
R^1 geradkettiges oder verzweigtes C_1 - C_4 -Alkyl; eine Gruppe, repräsentiert durch die allgemeine Formel R^3CH_2 , worin R^3 eine Gruppe ist, die aus der Gruppe gewählt wird, bestehend aus C_3 - C_8 -Cycloalkyl, C_1 - C_3 -Halogenalkyl, C_1 - C_3 -Alkoxy, C_1 - C_3 -Alkylthio, C_1 - C_3 -Alkylsulfinyl, C_1 - C_3 -Alkylsulfonyl, C_1 - C_3 -Alkoxy-carbonyl, Cyano, Amino, C_1 - C_3 -Monoalkylamino, C_1 - C_3 -Di-alkylamino, Acylamino und Cyano- C_2 - C_4 -alkenyl oder C_2 - C_4 -Alkynyl, ist,

R^2 Phenyl, das gegebenenfalls ein oder mehrere Substituenten aufweist, gewählt aus der Gruppe, bestehend aus Halogen, C_1 - C_3 -Alkyl, C_1 - C_3 -Alkoxy, C_1 - C_3 -Halogenalkyl und C_1 - C_3 -Halogenalkoxy; oder ein 5- oder 6-gliedriger aromatischer Heterocyclus mit 1 bis 4 Heteroatomen oder einer oder mehreren Spezies, gewählt aus der Gruppe, bestehend aus Stickstoff, Sauerstoff und Schwefel, ist, wobei jene, welche gegebenenfalls ein oder mehrere Substituenten aufweisen, von der Gruppe gewählt sind, die aus Halogen, C_1 - C_3 -Alkyl, C_1 - C_3 -Alkoxy, C_1 - C_3 -Halogenalkyl und C_1 - C_3 -Halogenalkoxy besteht,

X^2 , X^3 , X^4 und X^5 jeweils unabhängig für Wasserstoff, Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_1 - C_4 -Alkoxy, C_1 - C_4 -Halogenalkoxy, C_1 - C_4 -Alkylthio, C_1 - C_4 -Alkylsulfinyl, C_1 - C_4 -Alkylsulfonyl, Nitro, Amino oder C_1 - C_4 -Alkylcarbonylamino stehen,

und r_1 und r_2 jeweils unabhängig für Wasserstoff, Halogen, C_1 - C_4 -Alkyl, C_1 - C_4 -Halogenalkyl, C_1 - C_4 -Alkoxy, C_1 - C_4 -Alkylthio oder Amino stehen, oder r_1 und r_2 zusammen Carbonyl bilden können.

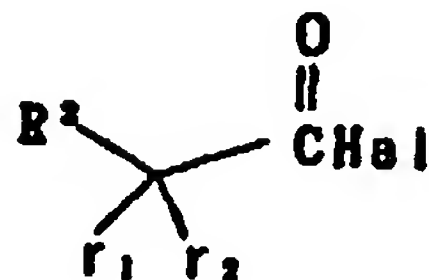
3. Verfahren zur Herstellung von Benzamidoxim-Derivaten, angegeben durch die Formel (I);



worin R^1 , X^2 , X^3 , X^4 , X^5 , R^2 , r_1 und r_2 wie oben beschrieben sind, welches die Umsetzung einer Verbindung der Formel (II)



worin R^1 , X^3 , X^4 und X^5 wie oben beschrieben sind, mit einer Verbindung der Formel (III);



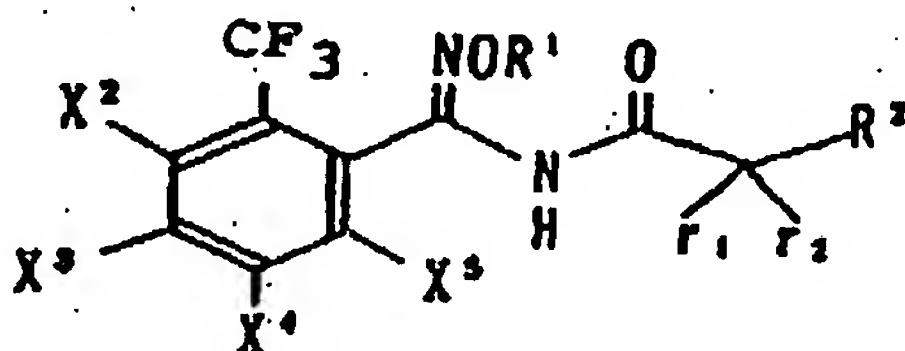
... (III)

worin Hal für Halogen steht und R^2 , r_1 und r_2 wie oben beschrieben sind, umfasst.

4. Fungizid zur landwirtschaftlichen oder gartenbaulichen Verwendung, umfassend ein oder mehrere der Benzamido-xim-Derivate, wie sie in Anspruch 1 oder 2 definiert sind.

Revendications

1. Dérivés du benzamido-xime représentés par la formule (I) :



... (I)

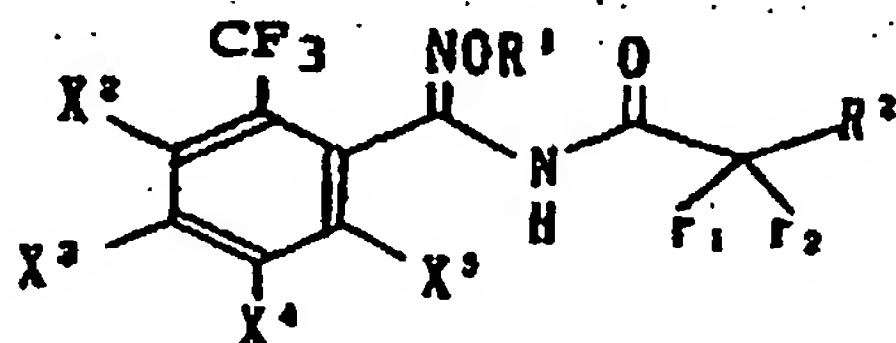
dans laquelle

R^1 est un alkyle en C_1 à C_4 non substitué ou substitué, un alcényle en C_2 à C_4 non substitué ou substitué ou un alcynyle en C_2 à C_4 non substitué ou substitué,

R^2 est un phényle ayant éventuellement des substituants ou un hétérocycle ayant éventuellement des substituants,

X^2 , X^3 , X^4 et X^5 sont chacun indépendamment un hydrogène, un halogène, un alkyle en C_1 à C_4 , un halogénoalkyle en C_1 à C_4 , un alkyloxy en C_1 à C_4 , un halogénoalcoxy en C_1 à C_4 , un alkylthio en C_1 à C_4 , un alkylsulfinyle en C_1 à C_4 , un alkylsulfonyl en C_1 à C_4 , un nitro, un amino ou un alkylcarbonylamino en C_1 à C_4 , et r_1 et r_2 sont chacun indépendamment un hydrogène, un halogène, un alkyle en C_1 à C_4 , un halogénoalkyle en C_1 à C_4 , un alcoxy en C_1 à C_4 , un alkylthio en C_1 à C_4 ou un amino, ou r_1 et r_2 ensemble peuvent former un carbonyle.

2. Dérivés du benzamido-xime représentés par la formule (I') :



... (I')

dans laquelle

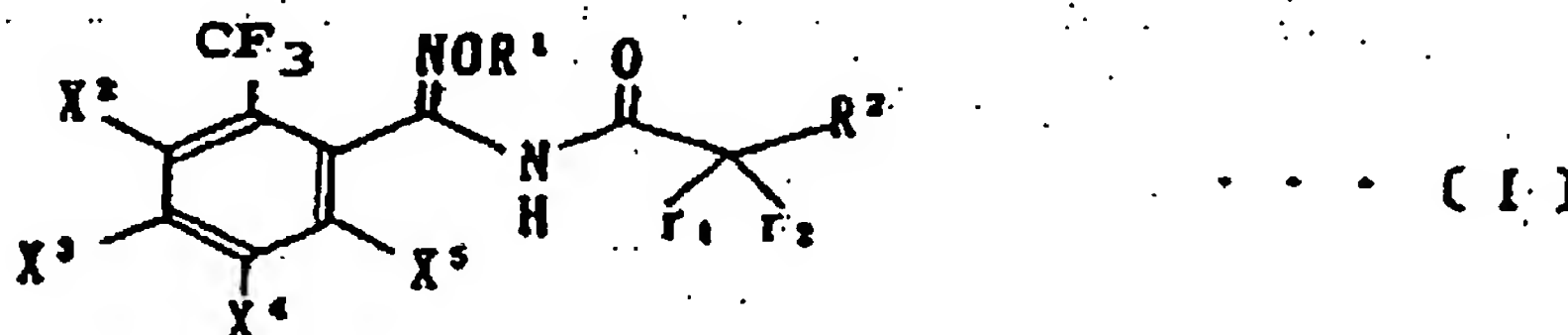
R^1 est un alkyle en C_1 à C_4 à chaîne linéaire ou ramifié ; un groupe représenté par une formule générale, R^3CH_2 , dans laquelle R^3 est un groupe choisi dans le groupe constitué par un cycloalkyle en C_3 à C_8 , un halogénoalkyle en C_1 à C_3 , un alcoxy en C_1 à C_3 , un alkylthio en C_1 à C_3 , un alkylsulfinyle en C_1 à C_3 , un

alkylsulfonyle en C₁ à C₃, un alcoxycarbonyle en C₁ à C₃, un cyano, un amino, un monoalkylamino en C₁ à C₃, un dialkylamino en C₁ à C₃, un acylamino et un cyano alcényle en C₂ à C₄ ou alcynyle en C₂ à C₄.

R² est un phényle ayant éventuellement un ou plusieurs substituants choisis dans le groupe constitué par un halogène, un alkyle en C₁ à C₃, un alcoxy en C₁ à C₃, un halogénoalkyle en C₁ à C₃ et un halogénoalcoxy en C₁ à C₃; ou un hétérocycle aromatique à 5 ou 6 membres contenant 1 à 4 hétéroatomes ou une ou plusieurs espèces choisies dans le groupe constitué par l'azote, l'oxygène et le soufre, celles qui ont éventuellement un ou plusieurs substituants choisis dans un groupe constitué par un halogène, un alkyle en C₁ à C₃, un alcoxy en C₁ à C₃, un halogénoalkyle en C₁ à C₃ et un halogénoalcoxy en C₁ à C₃.

X², X³, X⁴ et X⁵ sont chacun indépendamment un hydrogène, un halogène, un alkyle en C₁ à C₄, un halogénoalkyle en C₁ à C₄, un alcoxy en C₁ à C₄, un halogénoalcoxy en C₁ à C₄, un alkylthio en C₁ à C₄, un alkylsulfinyle en C₁ à C₄, un alkylsulfonyle en C₁ à C₄, un nitro, un amino ou un alkylcarbonylamino en C₁ à C₄, et r₁ et r₂ sont chacun indépendamment un hydrogène, un halogène, un alkyle en C₁ à C₄, un halogénoalkyle en C₁ à C₄, un alcoxy en C₁ à C₄, un alkylthio en C₁ à C₄ ou un amino, ou r₁ et r₂ ensemble peuvent former un carbonyle.

3. Procédé de préparation de dérivés du benzamidoxime représentés par la formule (I) :



dans laquelle R¹, X², X³, X⁴, X⁵, R², r₁ et r₂ sont tels que décrits ci-dessus, qui consiste à faire réagir un composé représenté par la formule (II)



dans laquelle R¹, X³, X⁴ et X⁵ sont tels que décrits ci-dessus, avec un composé représenté par la formule (III) :



dans laquelle Hal représente un halogène, et R², r₁ et r₂ sont tels que décrits ci-dessus.

4. Fongicide pour une utilisation agricole et horticoles, comprenant un ou plusieurs des dérivés du benzamidoxime selon la revendication 1 ou 2.